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                 PCTGEN now available on STN
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                 NTIS now allows simultaneous left and right truncation
         Feb 26 PCTFULL now contains images
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         Mar 04
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                 SDI PACKAGE for monthly delivery of multifile SDI results
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         Mar 24
                 PATDPAFULL now available on STN
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                 Additional information for trade-named substances without
                 structures available in REGISTRY
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         Apr 11
                 Display formats in DGENE enhanced
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         Apr 14
                 MEDLINE Reload
NEWS 12
         Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 13
                 Indexing from 1947 to 1956 added to records in CA/CAPLUS
         Jun 13
NEWS 14
                 New current-awareness alert (SDI) frequency in
         Apr 21
                 WPIDS/WPINDEX/WPIX
NEWS 15
         Apr 28
                 RDISCLOSURE now available on STN
NEWS 16
         May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 17
         May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 18
         May 15
NEWS 19
         May 19
                 Simultaneous left and right truncation added to WSCA
NEWS 20
         May 19
                 RAPRA enhanced with new search field, simultaneous left and
                 right truncation
NEWS 21
         Jun 06
                 Simultaneous left and right truncation added to CBNB
NEWS 22
         Jun 06
                 PASCAL enhanced with additional data
NEWS 23
         Jun 20
                 2003 edition of the FSTA Thesaurus is now available
NEWS 24
         Jun 25
                 HSDB has been reloaded
NEWS 25
         Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
         Jul 21
                 Identification of STN records implemented
NEWS 27
         Jul 21
                 Polymer class term count added to REGISTRY
NEWS 28
         Jul 22
                 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
NEWS EXPRESS
              April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
              AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
              General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
              CAS World Wide Web Site (general information)
```

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FILE 'HOME' ENTERED AT 09:43:19 ON 31 JUL 2003

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:43:33 ON 31 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 29 JUL 2003 HIGHEST RN 557055-78-4 DICTIONARY FILE UPDATES: 29 JUL 2003 HIGHEST RN 557055-78-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

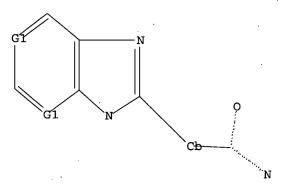
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 10019105.str

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



G1 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 SAMPLE SEARCH INITIATED 09:43:51 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 7584 TO ITERATE

13.2% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

7 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

146463 TO 156897 624 TO . 1498

PROJECTED ANSWERS:

L2

7 SEA SSS SAM L1

=> d scan

- L2 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
- IN Benzoic acid, 2-(5-benzoyl-1H-benzimidazol-2-yl)-, [(3,4,5-
- trimethoxyphenyl)methylene]hydrazide (9CI)
- MF C31 H26 N4 O5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 7 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[3-[[[2-(3-

pyridinyl)ethyl]amino]carbonyl]phenyl]- (9CI)

MF C28 H28 N4 O3

IN

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s 11 ful FULL SEARCH INITIATED 09:46:47 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 151969 TO ITERATE

100.0% PROCESSED 151969 ITERATIONS SEARCH TIME: 00.00.08

907 ANSWERS

L3

907 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

150.15

150.36

FILE 'CAPLUS' ENTERED AT 09:47:11 ON 31 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 31 Jul 2003 VOL 139 ISS 5 FILE LAST UPDATED: 30 Jul 2003 (20030730/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4

56 L3

=> d abs ibib hitstr 1-YOU HAVE REQUESTED DATA FROM 56 ANSWERS - CONTINUE? Y/(N):y ANSWER 1 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(O)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Arl is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide. Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, .apprx.100 example prepns. are included.

ACCESSION NUMBER:

2003:319709 CAPLUS

DOCUMENT NUMBER:

138:338144

TITLE:

Preparation of 2-phenyl benzimidazoles and

imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the

treatment of cancer

INVENTOR(S):

Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J.

Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.

PATENT ASSIGNEE(S):

Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 144 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

\mathbf{P}^{p}	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	ο.	DATE			
									-						-		
WO 2003032984			A1 20030424				WO 2002-US33371					20021018					
	₩:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
														GB,			
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
														KG.			

TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-330304P P 20011019

OTHER SOURCE(S):

MARPAT 138:338144

IT : 516482-00-1P, 2-[4-(Phenylcarbamoyl)phenyl]-1H-benzimidazole-5-carboxylic acid amide 516482-01-2P, 2-[4-(4-

Chlorophenylcarbamoyl)phenyl]-1H-benzimidazole-5-carboxylic acid amide **516482-02-3P**, 2-[4-[(4-Chlorophenyl)(methyl)carbamoyl]phenyl]-1H-benzimidazole-5-carboxylic acid amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516482-00-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(phenylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 516482-01-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[4-[[(4-chlorophenyl)amino]carbonyl]phen yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 516482-02-3 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[4-[[(4-chlorophenyl)methylamino]carbony l]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE · COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



ANSWER 2 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

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STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
     The title compds. I [the dotted line in rings B1 and B2 indicates a single
AB
     or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5,
     G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy =
     (un) substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5,
     R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and
     formulated. Compds. I showed HCV polymerase inhibitory activity (data
     given). E.g., a multi-step synthesis of II.HCl, starting from
     2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-
     cyclohexylbenzimidazole-5-carboxylate, was given.
ACCESSION NUMBER:
                          2003:203407 CAPLUS
DOCUMENT NUMBER:
                          138:238181
TITLE:
                          Preparation of substituted 1-cyclohexyl-2-
                          phenylbenzimidazole-5-carboxylic acids as remedies for
                          hepatitis C
INVENTOR(S):
                          Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,
                          Atsuhito
PATENT ASSIGNEE(S):
                          Japan Tobacco Inc., Japan
SOURCE:
                          U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.
                          No. PCT/JP00/09181.
                          CODEN: USXXCO
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO.
                                                               DATE
     US 2003050320
                       A1
                             20030313
                                             US 2001-939374
                                                               20010824
                                                               20001222
     WO 2001047883
                       A1
                             20010705
                                            WO 2000-JP9181
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
             MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     JP 2001247550
                        A2 20010911
                                             JP 2000-391904
                                                             20001225
PRIORITY APPLN. INFO.:
                                          JP 1999-369008 . A 19991227
                                          WO 2000-JP9181
                                                           A2 20001222
                                          JP 2000-391904
                                                            A · 20001225
                                          JP 2001-193786
                                                            A 20010626
OTHER SOURCE(S):
                          MARPAT 138:238181
     347169-06-6P 347169-08-8P 347169-09-9P
     347169-10-2P 347169-11-3P 347169-12-4P
     347169-13-5P 347169-14-6P 347169-15-7P
     347169-16-8P 347169-17-9P 347169-18-0P
     347169-19-1P 347169-20-4P 347169-21-5P
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347169-22-6P 347169-23-7P 347169-24-8P 347169-25-9P 347169-26-0P 347169-27-1P 347169-28-2P 347169-29-3P 347169-30-6P

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RN 347169-08-8 CAPLUS
CN 1H-Benzimidazole-5-carboxyli

1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[(1-ethylpropyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 347169-09-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[(2,4-dichlorophenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 347169-10-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[(2-fluorophenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

$$HO_2C$$
 N
 N
 N

RN 347169-11-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[(3-fluorophenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 347169-12-4 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[[(4-chlorophenyl)methyl]amino]carbonyl]phenyl]-1-cyclopentyl- (9CI) (CA INDEX NAME)



ANSWER 3 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

$$R^2$$
 R^5
 R^5
 R^5

$$FL-NH \Big\{CH_2\Big\}NHCCH_2O$$

$$Ph$$

$$O CO_2H$$

$$CNHCHCH_2$$

$$NH$$

$$CNHCHCH_2$$

$$HOCCH_2O$$

$$II$$

AB A method for identifying compds. binding to hepatitis C virus (HCV) RNA-dependent RNA polymerase is provided. HCV polymerase or an analog is contacted with a probe formula I, wherein A is O, S, N, NR1, or CR1, wherein R1 is defined as either a single or a double bond; R2 is selected from H, halogen, R21, OR21, SR21, COOR21, SO2N(R22)2, N(R22)2, CON(R22)2, NR22C(O)R22 or NR22C(O)NR22, wherein R21 and each R22 is defined herein; B is NR3 or CR3, wherein R3 is defined herein; with the proviso that, when A is not N, then one of A or B is either CR1 or CR3, K is N or CR4, wherein R4 is defined herein; L is N or CR5, wherein R5 has the same definition as R4 defined above; M is N or CR7, wherein R7 has the same definition as R4 defined above; R5 is C(Y1)Z wherein Y1 is O or S; and Z is N(R6a)R6 or OR6, wherein R6a is H or alkyl or NR61R62 wherein R61 and R62 are defined herein; and R6 is H, alkyl, cycloalkyl, alkenyl, Het, alkyl-aryl, alkyl-Het; or R6 is wherein R7 and R8 and Q are as defined herein; Y2 is O or S; R9 is H, (C1-6 alkyl), (C3-7)cycloalkyl or (C1-6)alkyl-(C3-7)cycloalkyl, aryl, Het, (C1-6)alkyl-aryl or (C1-6)alkyl-Het, all of which optionally substituted with R90; or R9 is covalently bonded to either of R7 or R8 to form a 5- or 6-membered heterocycle; or a salt thereof; where the probe comprises a detectable label attached to any suitable position, whereby said probe binds to an HCV polymerase or an analog thereof and is capable of being displaced by an inhibitor thereof. The assocn. of a specific probe with the HCV NS5B polymerase can be monitored and quantified directly by a change in the intrinsic spectral properties of a tagged or un-tagged NS5B protein and/or by a change i the intrinsic spectral properties of a specific probe. A direct measurement of inhibitor-NS5B assocn. can also be achieved by immobilizing one of these two components on a matrix and measuring assocn. through plasma-resonance detection technol. An assay that quantifies probe-NS5B complex assocn. may also incorporate a photo-reactive label (such as phenyl-azide or benzophenone) on the probe and measure the amt. of label irreversibly bound to the NS5B adduct following photo-activation of the probe. Thus, titrn. of fluorescein-labeled probe II (FL = 5thiocarbonylaminofluorescein) with the enzyme was measured with excitation wavelength at 493 nm and emission monitored at 530 nm, indicating a Kd value of 6 nM, which is .gtoreq.100-fold higher for HCV polymerase than

07/31/2003 10019105.trn

obtained with the GBV-B polymerase. A major advantage of the direct binding assay is that different affinities for the primer/template RNA substrate with N-terminal tag His-NS5B.DELTA.21 and C-terminal tag NS5B.DELTA.21-His are reconciled by relatively similar Kd values that individual inhibitors display with the two different HCV polymerases.

ACCESSION NUMBER:

2003:133484 CAPLUS

DOCUMENT NUMBER:

138:165718

TITLE:

Probes for direct binding assay for identifying inhibitors of hepatitis C virus RNA-dependent RNA

polymerase

INVENTOR(S):

Kukolj, George; Beaulieu, Pierre L.; McKercher,

Ginette

PATENT ASSIGNEE(S):

Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE:

PCT Int. Appl., 125 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                          KIND
                                 DATE
                                                   APPLICATION NO.
                                                                       DATE
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                                                                       _ _ _ _ _ _ _ _ _
      WO 2003014377
                           A2
                                 20030220
                                                   WO 2002-CA1214
                                                                       20020805
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               NE, SN, TD, TG
      US 2003108862
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                                 20030612
                                                   US 2002-211455
                                                                       20020802
PRIORITY APPLN. INFO.:
                                               US 2001-310272P P
                                                                       20010807
OTHER SOURCE(S):
                             MARPAT 138:165718
      497844-93-6P 497844-96-9P
      RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST
      (Analytical study); PREP (Preparation); USES (Uses)
          (probes for direct binding assay for identifying inhibitors of
         hepatitis C virus RNA-dependent RNA polymerase)
RN
      497844-93-6 CAPLUS
      L-Tryptophan, 5-(carboxymethoxy)-N-[[2-[4-[[[2-[[[(3',6'-dihydroxy-3-
CN
      oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-
```

yl) amino] thioxomethyl] amino] ethyl] amino] carbonyl] phenyl] -1-phenyl-1H-

benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN

497844-96-9 CAPLUS
L-Tryptophan, 5-(carboxymethoxy)-N-[[2-[4-[[[2-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]ethyl]amino]carbonyl]phenyl]-1-phenyl-1H-benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B



ANSWER 4 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

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Me H
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AB RZZ1R5 [I; R = CONR1R2 and R5 = NR3R4 or CONR3R4 or R = NR1COR2 and R5 = CONR3R4; R1,R2 = H, alkyl, (un)substituted (hetero)aryl, etc.; R3,R4 = H, alkyl, (hetero)aryl, alkanoyl, aroyl, etc.; Z = (un)substituted benzimidazole-n,2-diyl; Z1 = (un)substituted phenylene; n = 4-7] were prepd. Thus, 3,4-(H2N)2C6H3CO2H was cyclocondensed with 4-(O2N)C6H4CHO and the product amidated by cyclohexylamine to give, after redn. and amidation, title compd. II. Data for biol. activity of 1 I were given.

ACCESSION NUMBER:

2002:716082 CAPLUS

DOCUMENT NUMBER:

137:232653

TITLE:

Preparation of 2-(carboxamidophenyl)benzimidazole-5-carboxamides and analogs as IgE and cell proliferation

inhibitors

INVENTOR(S):

Sircar, Jagadish C.; Richards, Mark L.; Major, Michael

W.

PATENT ASSIGNEE(S):

Avanir Pharmaceuticals, USA PCT Int. Appl., 213 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                           KIND
                                  DATE
                                                    APPLICATION NO.
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      WO 2002072090
                                  20020919
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                                                    WO 2002-US6801
                                                                          20020228
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                                                                         20020227
PRIORITY APPLN. INFO.:
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                                                 US 2002-90044
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OTHER SOURCE(S):
                              MARPAT 137:232653
      459806-84-9P 459806-85-0P 459806-86-1P
      459806-87-2P 459806-88-3P 459806-89-4P
      459806-90-7P 459806-91-8P 459806-92-9P
      459806-93-0P 459806-94-1P 459806-95-2P
      459806-96-3P 459806-97-4P 459806-98-5P
      459806-99-6P 459807-00-2P 459807-01-3P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(carboxamidophenyl)benzimidazole-5-carboxamides and analogs as IgE and cell proliferation inhibitors)

RN 459806-84-9 CAPLUS

CN Benzamide, N-cyclohexyl-4-[5-[(cyclohexylcarbonyl)amino]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 459806-85-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-[4[(cyclohexylamino)carbonyl]phenyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 459806-86-1 CAPLUS

CN Benzamide, N-cyclohexyl-4-[5-[[(2-methylcyclohexyl)carbonyl]amino]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 5 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

This invention discloses the prepn. of title compds. I and II, their AB pharmaceutically acceptable salts, and related compds. as inhibitors of poly(ADP-ribose) polymerase (PARP) [wherein: A = N, C, CH2, CH; B = C, N, NH, S, SO, SO2; X = C, CH, N; Y = C, N; Z = C, CH2, N, CO; provided that at least one of X, Y, or Z is N; R1, R2, R3, R5 when present are optionally or independently = H, OH, :O, (un) substituted alkyl, alkenyl, alkynyl, alkoxy, carboxy, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, halogen, amine, COR8 (R8 = H, OH, (un) substituted alkyl, alkenyl, alkynyl, alkoxy, carboxy, cycloalkyl, heterocycloalkyl, aryl, heteroaryl), OR6, NR6R7 (R6, R7 independently = H, (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl); R1, R2, R3, R5 optionally form ring through a straight or branched C1-4alkyl which may addnl. contain 1-2 double or triple bonds; R4 = 1-3 of H, halo, or alkyl; with proviso that when A, X, or Z = C, then R1, R2, R3 when present may also independently = halogen, CN, O; R9, R10, R11, R12 optionally or independently = H, halogen, amino, OH, halo-amine, O-alkyl, O-aryl, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, carboxy, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, COR8; R13 = 1-3 of H, halogen, alkoxy, alkyl]. For example, cyclocondensation of formylindazole III (prepd. from Me indole-4-carboxylate and NaNO2/AcOH), with hydrazine provided claimed benzoazulenone IV as a white solid. Benzoazulenone IV inhibited human recombinant PARP at an IC50 of 0.018 .mu.M. PARP IC50 inhibition studies for an addnl. 156 examples are provided, ranging in values from 0.01 to 20 .mu.M. Biol. data are provided for the in vivo treatment of focal cerebral ischemia and gout via PARP inhibition with selected compds. II. The present invention is believed to protect cells, tissue and organs against the ill-effects of reactive free radicals and nitric oxide through inhibition of PARP activity.

ACCESSION NUMBER:

2002:428911 CAPLUS

DOCUMENT NUMBER:

137:6205

TITLE:

Preparation of benzazepinones, isoquinolinones and

07/31/2003 10019105.trn

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related compounds as inhibitors of poly(ADP-ribose)
                         polymerase (PARP) for the prevention and/or treatment
                         of tissue damage from cell trauma or cell death due to
                         necrosis or apoptosis.
                         Ferraris, Dana V.; Li, Jia-He; Kalish, Vincent J.;
INVENTOR(S):
                         Zhang, Jie
                         Guilford Pharmaceuticals Inc., USA
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 152 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                          APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
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                                          WO 2001-US44815 20011130
                      A2
                           20020606
     WO 2002044183
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     WO 2002044183
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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                     A5 20020611
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                       A1
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PRIORITY APPLN. INFO.:
                                        US 2000-250132P P 20001201
                                        US 2001-310274P P 20010809
                                        WO 2001-US44815 W 20011130
OTHER SOURCE(S):
                         MARPAT 137:6205
     433726-35-3P 433726-37-5P 433727-34-5P
     433727-35-6P 433727-36-7P 433727-37-8P
     433727-38-9P 433727-39-0P 433727-40-3P
     433727-41-4P 433727-42-5P 433727-43-6P
     433727-44-7P 433727-45-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzazepinones, isoquinolinones and related

compds. as inhibitors of poly(ADP-ribose) polymerase (PARP))

RN 433726-35-3 CAPLUS

CN Piperazine, 1-methyl-4-[3-(4,5,6,7-tetrahydro-7-oxoimidazo[4,5,1-jk][1,4]benzodiazepin-2-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 433726-37-5 CAPLUS

CN Benzamide, N-[3-(dimethylamino)propyl]-N-methyl-3-(4,5,6,7-tetrahydro-7-oxoimidazo[4,5,1-jk][1,4]benzodiazepin-2-yl)- (9CI) (CA INDEX NAME)

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RN 433727-34-5 CAPLUS

CN Benzamide, N-[2-(4-morpholinyl)ethyl]-3-(4,5,6,7-tetrahydro-7-oxoimidazo[4,5,1-jk][1,4]benzodiazepin-2-yl)- (9CI) (CA INDEX NAME)

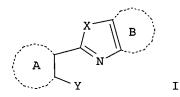
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RN 433727-35-6 CAPLUS

CN Benzamide, N-[2-(1-pyrrolidinyl)ethyl]-3-(4,5,6,7-tetrahydro-7-oxoimidazo[4,5,1-jk][1,4]benzodiazepin-2-yl)- (9CI) (CA INDEX NAME)



ANSWER 6 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN



AB The compds. I [ring A, ring B = (un)substituted arom. ring; X = NR0, S, O; R0 = H, lower alkyl; Y = NR1R2, CONR1'R2', C(OH)R1''R2'', (un)substituted (un) satd. 5- to 7-membered heterocycle; R1 = (un) substituted lower alkyl, alkenyl, alkynyl; R2 = org. group excluding lower alkyl; R1R2 may form heterocycle; R1', R2' = (un) substituted lower alkyl; R1'R2' may form heterocycle; R1'', R2'' = (un) substituted lower alkyl] or their pharmaceutically acceptable salts are prepd. The compds. are useful for anti-inflammatory agents, antirheumatic agents, and agents for bone regeneration. 2-(5,6-Dichloro-1H-imidazol-2-yl)-N-methylaniline (2.06 g) was reacted with acetyl chloride in pyridine at 25.degree. for 1 h to give 630 mg N-[2-(5,6-dichloro-1H-benzimidazol-2-yl)phenyl]-N-methylacetamide showing 66% inhibition of osteoclast differentiation in vitro.

ACCESSION NUMBER:

2002:422943 CAPLUS

DOCUMENT NUMBER:

137:6177

TITLE:

Preparation of phenylbenzimidazoles as osteoclast differentiation induction inhibitors and osteoclast

inhibitors

INVENTOR(S):

Nakahira, Hiroyuki; Horiuchi, Yoshihiro Sumitomo Pharmaceuticals Co., Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

Jpn. Kokai Tokkyo Koho, 87 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. JP 2002161084 20020604 JP 2000-360964 20001128 Α2 PRIORITY APPLN. INFO.: JP 2000-360964 20001128

OTHER SOURCE(S):

MARPAT 137:6177

433299-24-2P 433299-26-4P 433299-28-6P

433299-30-0P 433299-31-1P 433299-32-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylbenzimidazoles as osteoclast differentiation induction inhibitors and osteoclast inhibitors)

RN433299-24-2 CAPLUS

CNBenzamide, 2-(5,6-dichloro-1H-benzimidazol-2-yl)-N,N-dimethyl- (9CI) INDEX NAME)

RN 433299-26-4 CAPLUS

Piperidine, 1-[2-(5,6-dichloro-1H-benzimidazol-2-yl)benzoyl] - (9CI) (CA CN INDEX NAME)

RN

433299-28-6 CAPLUS
Pyrrolidine, 1-[2-(5,6-dichloro-1H-benzimidazol-2-yl)benzoyl]- (9CI) (CA CNINDEX NAME)

RN 433299-30-0 CAPLUS

Benzamide, 2-(5,6-dichloro-1H-benzimidazol-2-yl)-N,N-diethyl- (9CI) (CA CNINDEX NAME)

RN 433299-31-1 CAPLUS

CN Benzamide, 2-(5,6-dichloro-1H-benzimidazol-2-yl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 433299-32-2 CAPLUS

CN Benzamide, 2-(5,6-dichloro-1H-benzimidazol-2-yl)-N-phenyl- (9CI) (CA INDEX NAME)



ANSWER 7 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

The starting compd. 5-nitrobenzimidazol-2'-yl-o-benzoyl hydrazide, on AB treatment with arom. aldehydes yielded the corresponding benzal-(5'nitrobenzimidazol-2'-yl-o-benzolyl) hydrazines I(R = Ph, 2-ClC6H4, 4-HOC6H4, etc.). The heterocyclization of I with thioglycolic acid and thiolactic acid furnished the corresponding 2-aryl-3-(5'-nitrobenzimidazol-2' -yl-o-benzamido) -5-H-4-thiazolidinones (3a-o) and 2-aryl-3-(5'nitrobenzimidazol-2'-yl-o-benzamido)-5-methyl-4-thiazolidinones II (X = CO; R1 = H, Me, resp.). The compds. were screened for their antitubercular activity against Mycobacterium tuberculosis H37 Rv.

ACCESSION NUMBER: 2002:130859 CAPLUS

DOCUMENT NUMBER: 137:337812

TITLE: Synthesis of some 4-thiazolidinones as potential

antitubercular agents

Joshi, Dharti G.; Oza, Haresh B.; Parekh, Hansa H. AUTHOR(S):

CORPORATE SOURCE: Department of Chemistry, Saurashtra University,

Rajkot, 360 005, India

SOURCE: Indian Journal of Heterocyclic Chemistry (2001),

11(2), 145-148 CODEN: IJCHEI; ISSN: 0971-1627

Prof. R. S. Varma PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

474301-77-4P 474301-78-5P 474301-79-6P 474301-80-9P 474301-81-0P 474301-82-1P 474301-83-2P 474301-84-3P 474301-85-4P 474301-86-5P 474301-87-6P 474301-88-7P 474301-89-8P 474301-90-1P 474301-91-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzimidazoles and thiazolidinones as potential antitubercular agents)

RN 474301-77-4 CAPLUS

CN Benzoic acid, 2-(5-nitro-1H-benzimidazol-2-yl)-, (phenylmethylene)hydrazide (9CI) (CA INDEX NAME)

RN 474301-78-5 CAPLUS

CN Benzoic acid, 2-(5-nitro-1H-benzimidazol-2-yl)-, [(2-chlorophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

RN 474301-79-6 CAPLUS

CN Benzoic acid, 2-(5-nitro-1H-benzimidazol-2-yl)-, [(4-chlorophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

RN 474301-80-9 CAPLUS

CN Benzoic acid, 2-(5-nitro-1H-benzimidazol-2-yl)-, [(2,4-dichlorophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



ANSWER 8 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 .mu.M.

ACCESSION NUMBER: 2002:51438 CAPLUS

DOCUMENT NUMBER:

136:118447

TITLE: Preparation of benzimidazolecarboxylates and related

compounds as viral polymerase inhibitors

Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard INVENTOR(S):

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

Patent

PCT Int. Appl., 322 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE											
WO 2002004425	A2 20020117	WO 2001-CA989 20010704											
W: AE, AG,	AL, AM, AT, AU, A	AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,											
CR, CU,	CZ, DE, DK, DM, D	DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,											
HU, ID,	IL, IN, IS, JP, K	KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,											
LU, LV,	MA, MD, MG, MK, M	MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,											
SD, SE,	SG, SI, SK, SL, T	IJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,											
		KG, KZ, MD, RU, TJ, TM											
		SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,											
DE, DK,	ES, FI, FR, GB, G	GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,											
BJ, CF,	CG, CI, CM, GA, G	GN, GW, ML, MR, NE, SN, TD, TG											
US 2002065418	A1 20020530	US 2001-898297 20010703											
	B2 20020910												
		EP 2001-951274 20010704											
R: AT, BE,	CH, DE, DK, ES, F	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,											
	LT, LV, FI, RO, M												
		US 2001-995099 20011127											
WO 2002070739		WO 2002-CA323 20020306											
WO 2002070739	A3 20030530												

07/31/2003 10019105.trn

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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             TJ, TM
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                         P 20000706
PRIORITY APPLN. INFO.:
                                        US 2000-216084P
                                        US 2001-274374P
                                                         Ρ
                                                           20010308
                                        US 2001-281343P
                                                         P 20010405
                                                         A3 20010703
                                        US 2001-898297
                                        WO 2001-CA989
                                                         W 20010704
OTHER SOURCE(S):
                         MARPAT 136:118447
     390810-27-2P 390814-80-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of benzimidazolecarboxylates and related compds. as viral
        polymerase inhibitors)
RN
     390810-27-2 CAPLUS
CN
     L-Tryptophan, 5-(carboxymethoxy)-N-[[1-cyclohexyl-2-[4-[[[2-[[[(3',6'-
```

yl) amino] thioxomethyl] amino] ethyl] amino] carbonyl] phenyl] -1H-benzimidazol-5-

Absolute stereochemistry.

yl]carbonyl] - (9CI) (CA INDEX NAME)

dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-

PAGE 1-B

RN 390814-80-9 CAPLUS

CN L-Tryptophan, 5-(carboxymethoxy)-N-[[1-cyclohexyl-2-[4-[[[2-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]ethyl]amino]carbonyl]phenyl]-1H-benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$HO_2C$$

PAGE 1-B

ANSWER 9 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN The vacuolar proton pump (V-ATPase) located on the plasma membrane of the osteoclast is a potential mol. target for the discovery of novel bone antiresorptive agents useful for the treatment of osteoporosis. In order to design novel compds. able to selectively inhibit the osteoclast V-ATPase we firstly identified the minimal structural requirements of bafilomycin Al, a macrolide antibiotic which potently inhibits all V-ATPases. This information allowed the design of 2-

(indole) pentadienamide derivs. whose optimization led to a novel class of potent inhibitors that demonstrated a high degree of selectivity for the osteoclast V-ATPase. The most interesting deriv., SB-242784, was able to inhibit bone resorption by human osteoclasts in vitro and to completely prevent ovariectomy-induced bone loss in rats when administered orally at 10 mg kg-1 day-1. Structure activity relationships of this class of compds. were investigated further by replacing the 2,4-pentadienoyl chain with suitable spacers able to maintain the correct orientation and distance between the indole ring and the amide moiety.

ACCESSION NUMBER:

2001:516943 CAPLUS

DOCUMENT NUMBER:

135:298171

TITLE:

Novel bone antiresorptive agents that selectively

inhibit the osteoclast V-H+-ATPase

AUTHOR(S):

Farina, Carlo; Gagliardi, Stefania; Nadler, Guy;

Morvan, Marcel; Parini, Carlo; Belfiore, Pietro;

Visentin, Luciano; Gowen, Maxine

CORPORATE SOURCE:

SmithKline Beecham SpA, Milan, 20021, Italy

SOURCE:

Farmaco (2001), 56(1-2), 113-116 CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER:

Elsevier Science S.A.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

316809-79-7 316809-81-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure activity relationships of bone antiresorptive agents that inhibit osteoclast vacuolar H+-ATPase)

316809-79-7 CAPLUS RN

Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methoxy-N-(1,2,2,6,6-CN pentamethyl-4-piperidinyl) - (9CI) (CA INDEX NAME)

RN 316809-81-1 CAPLUS

Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(2,2,6,6-CN tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ι

ANSWER 10 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

$$C1$$
 N
 $O-CH_2$
 $S=0$
 Me
 II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 .mu.M against hepatitis C virus polymerase. A formulation is given.

ACCESSION NUMBER:

2001:489367 CAPLUS

DOCUMENT NUMBER:

135:76874

TITLE:

Preparation of heterocyclic compounds as remedies for

hepatitis C

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan

SOURCE:

PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

DAMENIE NO 117

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2001047883 A1 20010705 WO 2000-JP9181 20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

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                     A1 20011212 EP 2000-987728 20001222
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                                           US 2001-939374
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PRIORITY APPLN. INFO.:
                                        JP 1999-369008
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                                                            20001225
                                        JP 2001-193786
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OTHER SOURCE(S):
                         MARPAT 135:76874
    347169-06-6P 347169-08-8P 347169-09-9P
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347171-60-2P 347171-61-3P 347171-62-4P
347171-63-5P 347171-64-6P 347171-65-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (prepn. of heterocyclic compds. as remedies for hepatitis C)
347169-06-6 CAPLUS
1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[3-
(methoxycarbonyl)phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)
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RN

CN

RN 347169-08-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[(1-

ethylpropyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 347169-09-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[(2,4-dichlorophenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 347169-10-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[(2-fluorophenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 347169-11-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-[[[(3-fluorophenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 11 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB Some 1H-benzimidazole-carboxamide derivs. were prepd. and their antimicrobial activities against Staphylococcus aureus, Escherichia coli, and Candida albicans evaluated. Compds. I, II, and III exhibited the best activity against C. albicans.

ACCESSION NUMBER:

2001:412102 CAPLUS

DOCUMENT NUMBER:

135:177890

TITLE:

Synthesis and antimicrobial activity of some new 2-phenyl-N-substituted carboxamido-1H-benzimidazole

derivatives

AUTHOR (S):

Goker, Hakan; Tuncbilek, Meral; Suzen, Sibel; Kus,

Canan; Altanlar, Nurten

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (2001),

334(5), 148-152 CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER:

Wiley-VCH Verlag GmbH

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S): 355022-47-8P 355022-48-9P 355022-49-0P

CASREACT 135:177890

355022-50-3P 355022-51-4P 355022-52-5P 355022-53-6P 355022-54-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimicrobial activity of new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivs.)

RN 355022-47-8 CAPLUS

CN Benzamide, 4-[1-butyl-5-(trifluoromethyl)-1H-benzimidazol-2-yl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 355022-48-9 CAPLUS

CN Benzamide, 4-[5-cyano-1-(1-methylethyl)-1H-benzimidazol-2-yl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \\ C-NH-CH_2-CH_2-NMe_2 \end{array}$$

RN 355022-49-0 CAPLUS

CN Benzamide, 4-(5-cyano-1-propyl-1H-benzimidazol-2-yl)-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \\ C-NH-CH_2-CH_2-NEt_2 \\ \\ NC \\ \\ N \\ \\ Pr-n \end{array}$$

RN 355022-50-3 CAPLUS

CN Benzamide, 4-[1-[(4-chlorophenyl)methyl]-1H-benzimidazol-2-yl]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 355022-51-4 CAPLUS

CN Benzamide, 4-[5-chloro-1-[(4-chlorophenyl)methyl]-1H-benzimidazol-2-yl]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$C1$$
 R
 $C1$
 R
 $C1$

RN 355022-52-5 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(4-chlorophenyl)methyl]-2-[4-[[[2-(dimethylamino)ethyl]amino]carbonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ MeO-C & \\ \hline & N \\ \hline & N \\ \hline & CH_2 \\ \end{array}$$

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{C-NH-CH}_2\text{-CH}_2\text{-NMe}_2 \end{array}$$

RN 355022-53-6 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(4-chlorophenyl)methyl]-2-[4-[[(2-pyridinylmethyl)amino]carbonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \hline MeO-C & N & C-NH-CH_2 \\ \hline N & N-CH_2 & N \\ \hline \end{array}$$

RN 355022-54-7 CAPLUS

CN Benzamide, 4-[1-[(4-chlorophenyl)methyl]-5-(trifluoromethyl)-1H-benzimidazol-2-yl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{C-NH-CH}_2\text{-CH}_2\text{-NMe}_2 \end{array}$$

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PUBLISHER:

ANSWER 12 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

The title compds. were prepd. and their bactericidal, fungicidal, and

antitubercular activities detd.

ACCESSION NUMBER: 2001:230886 CAPLUS

DOCUMENT NUMBER: 135:5563

TITLE: N-Aryl-o-(5'-benzoylbenzimidazol-2'-yl)benzamides

AUTHOR(S): Doshi, Rajeev; Kagthara, Preeti; Parekh, H. H.

CORPORATE SOURCE: Chemistry Department, Saurashtra University, Rajkot,

360 005, India

SOURCE: Journal of the Institution of Chemists (India) (2000),

72(4), 140-141

CODEN: JOICA7; ISSN: 0020-3254 Institution of Chemists (India)

DOCUMENT TYPE: Journal LANGUAGE: English

IT 341997-38-4P 341997-39-5P 341997-40-8P 341997-41-9P 341997-42-0P 341997-43-1P 341997-44-2P 341997-45-3P 341997-46-4P 341997-47-5P 341997-48-6P 341997-49-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of aryl(benzoylbenzimidazolyl)benzamides and their bactericidal, fungicidal, and antitubercular activities)

RN 341997-38-4 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 341997-39-5 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 341997-40-8 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(2,4-dichlorophenyl)-(9CI) (CA INDEX NAME)

RN 341997-41-9 CAPLUS
CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(3,4-dichlorophenyl)(9CI) (CA INDEX NAME)

RN 341997-42-0 CAPLUS
CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(3,5-dichlorophenyl)(9CI) (CA INDEX NAME)

RN 341997-43-1 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-dodecyl- (9CI) (CA INDEX NAME)

RN 341997-44-2 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-octadecyl- (9CI) (CA INDEX NAME)

RN 341997-45-3 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 341997-46-4 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN341997-47-5 CAPLUS

Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(2-methylphenyl)- (9CI) CN(CA INDEX NAME)

341997-48-6 CAPLUS RN

Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(3-methylphenyl)- (9CI) CN(CA INDEX NAME)

RN

341997-49-7 CAPLUS
Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(4-methylphenyl)- (9CI) CN(CA INDEX NAME)

8

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



ANSWER 13 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB .beta.-Lactams have attracted considerable attention in view of their strong antibiotic activity. Taking this into consideration, the prepn. of 2-azetidinones, e.g. I, using a well known acid chloride imine reaction have been undertaken by condensation of a Schiff's base, e.g. II, and chloroacetyl chloride in the presence of triethylamine. The constitution of the products was established by elemental analyses, IR and PMR spectral study.

ACCESSION NUMBER: 2001:230885 CAPLUS

DOCUMENT NUMBER: 135:5468

TITLE: Azetidinones as bioactive compounds derived from

benzimidazole

AUTHOR(S): Doshi, Rajeev; Kagthara, Preeti; Parekh, H. H.

CORPORATE SOURCE: Chemistry Department, Saurashtra University, Rajkot,

360 005, India

SOURCE: Journal of the Institution of Chemists (India) (2000),

72(4), 138-139

CODEN: JOICA7; ISSN: 0020-3254 Institution of Chemists (India)

PUBLISHER: Institut: DOCUMENT TYPE: Journal

LANGUAGE: Sournal English

OTHER SOURCE(S): CASREACT 135:5468

IT 340984-29-4P 340984-30-7P 340984-31-8P 340984-32-9P 340984-33-0P 340984-34-1P 340984-35-2P 340984-36-3P 340984-37-4P

340984-38-5P 340984-39-6P 340984-40-9P

340984-41-0P 340984-42-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(azetidinones as bioactive compds. derived from benzimidazole)

RN 340984-29-4 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-(3-chloro-2-oxo-4-phenyl-1-azetidinyl)- (9CI) (CA INDEX NAME)

07/31/2003

10019105.trn



ANSWER 14 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un) substituted alkoxy, acyl, or alkyl; R4 = (un) substituted CH2; n = 0-3; B = atoms to complete (un) substituted fusedaryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders assocd. with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. contg. fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepd., and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy) benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aq. THF-EtOH, gave title compd. IV. This compd. had IC50 values as follows (.mu.M): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP .alpha. = 22.2.

ACCESSION NUMBER: 2001:167962 CAPLUS

DOCUMENT NUMBER: 134:222529

TITLE: Preparation of aromatic trifluoromethylsulfonyl and

trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of

treatment

Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, INVENTOR(S):

Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig,

Marcel

PATENT ASSIGNEE(S): Sugen, Inc., USA; et al.

SOURCE: PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	KIND		DATE			APPLICATION NO.					DATE					
								-								
WO 2001016097			A1 20010308				W	20	00-U	93	20000825					
W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,
	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,
	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 2000-961360 20000825 EP 1212296 20020612 A1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL 20000825 JP 2003508382 Т2 20030304 JP 2001-519667 US 6596772 20030722 US 2000-645879 20000825 B1 PRIORITY APPLN. INFO .: US 1999-150970P P 19990827 US 1999-165365P P 19991112 WO 2000-US23293 W 20000825 OTHER SOURCE(S): MARPAT 134:222529 329317-63-7P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1Hbenzimidazol-2-yl)-N-pyridin-4-ylbenzamide 329317-64-8P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4methoxyphenyl) benzamide 329317-65-9P, 3-[4-(1-Ethyl-5trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester 329317-66-0P, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide 329317-67-1P, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1Hbenzimidazol-2-yl)benzamide RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of arom. trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors) RN329317-63-7 CAPLUS CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

$$F_3C-S$$
 O
 $C-NH$
 N
 Et

RN 329317-64-8 CAPLUS

CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

$$F_3C-S$$
 $C-NH$
OMe

RN 329317-65-9 CAPLUS

CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN

329317-66-0 CAPLUS
Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-CN N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$F_3C - S \\ 0 \\ N \\ N \\ Et$$

RN

329317-67-1 CAPLUS
Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME) CN

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 15 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

$$\begin{array}{c|c}
R^1 & Y \\
R^2 & X
\end{array}$$

$$\begin{array}{c|c}
X & A \\
X & I$$



AB The title compds. [I; X = O, S, NH, etc.; Y, Z = N, CH, CR1, CR2; A = (un)substituted aryl, heterocyclyl; Ra = CONR3R4 (wherein R3, R4 = H, alkyl, cycloalkyl, etc.); R1, R2 = H, OH, NH2, etc.], useful in the treatment and/or prophylaxis of diseases assocd. with over activity of osteoclasts in mammals, were prepd. E.g., a multi-step synthesis of the benzimidazole II was given. The compds. I are able to inhibit bafilomycin-sensitive ATPase of human osteoclasts in a range from 2 nM to 15 .mu.M.

II

ACCESSION NUMBER: 2001:12425 CAPLUS

DOCUMENT NUMBER: 134:86246

TITLE: Preparation of azolylbenzamides and analogues for

treating osteoporosis

INVENTOR(S): Farina, Carlo; Gagliardi, Stefania; Rahman, Shahzad

Sharooq

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK; Smithkline Beecham

S.P.A.

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND				ND	DATE			APPLICATION NO.					DATE				
WO 2001000587			A1 2001010			0104		W	O 20	00-E	1	20000623					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒŻ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UΑ,	UG,	US,	UΖ,	VN,
		ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE.	DK.	ES.	FT.	FR.	GB.	GR.	TE.	TT.	LU.	MC.	NL.	PT.	SE.	BF.	BJ.

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1187813 A1 20020320 EP 2000-947877 20000623 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2003503390 20030128 JP 2001-506997 20000623 T2 PRIORITY APPLN. INFO.: GB 1999-14825 A 19990624 WO 2000-EP5881 W 20000623 OTHER SOURCE(S): MARPAT 134:86246 316809-76-4P 316809-77-5P 316809-78-6P 316809-79-7P 316809-80-0P 316809-81-1P 316809-82-2P 316809-83-3P 316809-84-4P 316809-85-5P 316809-86-6P 316809-87-7P 316809-88-8P 316809-89-9P 316809-90-2P 316809-91-3P 316809-92-4P 316809-93-5P 316809-94-6P 316809-95-7P 316809-97-9P 316809-98-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of azolylbenzamides and analogs for treating osteoporosis) RN316809-76-4 CAPLUS Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-N-(1,2,2,6,6-pentamethyl-CN

4-piperidinyl) - (9CI) (CA INDEX NAME)

RN 316809-77-5 CAPLUS

CN Benzamide, 4-(5-chloro-1H-benzimidazol-2-yl)-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-78-6 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-hydroxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-79-7 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-80-0 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1-methyl-1H-benzimidazol-2-yl)-3-methoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-81-1 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-82-2 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methoxy-N-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 316809-83-3 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-hydroxy-N-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 316809-84-4 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methoxy-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 316809-85-5 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-86-6 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methoxy-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-87-7 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-88-8 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-89-9 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 316809-90-2 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-3-pyridinyl-(9CI) (CA INDEX NAME)

RN 316809-91-3 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

C1
$$C-NH-(CH_2)_3-OH$$

RN 316809-92-4 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-2,5-dimethoxy-N-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-93-5 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-2,5-dimethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-94-6 CAPLUS

CN Benzamide, 4-(5-chloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 316809-95-7 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-(4-hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

RN 316809-97-9 CAPLUS

CN Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-(1-methylethoxy)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 316809-96-8

CMF C26 H32 Cl2 N4 O2

CM 2

CRN 76-05-1 C2 H F3 O2 CMF

RN

316809-98-0 CAPLUS
Benzamide, 4-(5,6-dichloro-1H-benzimidazol-2-yl)-3-ethoxy-N-[1-[[4-(3-iodobenzoyl)phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME) CN

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4. ANSWER 16 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB 2-(2-Benzimidazolyl) benzoylhydrazineon condensation with different arom. aldehydes furnished Schiff's bases which on treatment with chloroacetyl chloride in the presence of triethylamine as basic catalyst afforded 2-azetidinones. The synthesized compds. have been tested in vitro for their antitubercular activity against Mycobacterium tuberculosis H37Rv. Some of these compds. exhibited highest antitubercular activity (>90% inhibition).

ACCESSION NUMBER:

2000:784863 CAPLUS

DOCUMENT NUMBER:

134:207752

TITLE:

Synthesis of some 2-azetidinones as potential

antitubercular agents

AUTHOR (S):

Kagthara, Preeti; Upadhyay, Tejas; Doshi, Rajeev;

Parekh, H. H.

CORPORATE SOURCE:

Department of Chemistry, Saurashtra University,

Rajkot, 360 005, India

SOURCE:

RN

Indian Journal of Heterocyclic Chemistry (2000),

10(1), 9-12

CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER:

Prof. R. S. Varma

DOCUMENT TYPE:

Journal English

LANGUAGE:

CASREACT 134:207752

OTHER SOURCE(S):

CASREACT 134:20//52

328407-64-3P 328407-65-4P 328407-66-5P

328407-67-6P 328407-69-8P 328407-70-1P

328407-71-2P 328407-72-3P 328407-73-4P

328407-75-6P 328407-76-7P 328407-77-8P

328407-78-9P 328407-79-0P

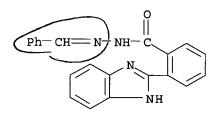
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of arom. aldehyde benzimidazolylbenzoylhydrazones and

benzimidazolylbenzoylaminoazetidinones as antitubercular agents)

328407-64-3 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, (phenylmethylene)hydrazide (9CI) (CA INDEX NAME)



RN 328407-65-4 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(2-chlorophenyl)methylene]hydraz
ide (9CI) (CA INDEX NAME)

328407-66-5 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(4-chlorophenyl)methylene]hydraz CNide (9CI) (CA INDEX NAME)

RN328407-67-6 CAPLUS

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(2,4-CNdichlorophenyl) methylene] hydrazide (9CI) (CA INDEX NAME)

RN

328407-69-8 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [[4-(dimethylamino)phenyl]methyle CN ne]hydrazide (9CI) (CA INDEX NAME)

RN 328407-70-1 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, (2-furanylmethylene)hydrazide (9CI) (CA INDEX NAME)

RN 328407-71-2 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(2-hydroxyphenyl)methylene]hydra zide (9CI) (CA INDEX NAME)

RN 328407-72-3 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(4-hydroxyphenyl)methylene]hydra zide (9CI) (CA INDEX NAME)

RN 328407-73-4 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(4-hydroxy-3-methoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

328407-75-6 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(4-methoxyphenyl)methylene]hydra CNzide (9CI) (CA INDEX NAME)

RN

328407-76-7 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(2-nitrophenyl)methylene]hydrazi CNde (9CI) (CA INDEX NAME)

RN328407-77-8 CAPLUS

CNBenzoic acid, 2-(1H-benzimidazol-2-yl)-, [(3-nitrophenyl)methylene]hydrazi de (9CI) (CA INDEX NAME)

$$O_2N$$
 $CH = N-NH-C$
 NH

RN 328407-78-9 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, (3-phenyl-2-propenylidene)hydrazide (9CI) (CA INDEX NAME)

RN 328407-79-0 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(3,4,5-trimethoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

IT 328407-80-3P 328407-81-4P 328407-82-5P

328407-83-6P 328407-85-8P 328407-86-9P

328407-91-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of arom. aldehyde benzimidazolylbenzoylhydrazones and benzimidazolylbenzoylaminoazetidinones as antitubercular agents)

RN 328407-80-3 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-(3-chloro-2-oxo-4-phenyl-1-azetidinyl)- (9CI) (CA INDEX NAME)

RN 328407-81-4 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(2-chlorophenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-82-5 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(4-chlorophenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-83-6 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(2,4-dichlorophenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-85-8 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-[4-(dimethylamino)phenyl]-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-86-9 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(2-furanyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-91-6 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(4-methoxyphenyl)-4-oxo-

1-azetidinyl] - (9CI) (CA INDEX NAME)

IT 148438-23-7P 328407-68-7P 328407-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of arom. aldehyde benzimidazolylbenzoylhydrazones and benzimidazolylbenzoylaminoazetidinones as antitubercular agents)

RN 148438-23-7 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)

RN 328407-68-7 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(3,4-dimethoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

RN 328407-74-5 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, [(3-methoxyphenyl)methylene]hydra zide (9CI) (CA INDEX NAME)

RN 328407-87-0 CAPLUS
CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(2-hydroxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-88-1 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(4-hydroxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-89-2 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(4-hydroxy-3-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-90-5 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(3-methoxyphenyl)-4-oxo-1-azetidinyl]- (9CI) (CA INDEX NAME)

RN 328407-92-7 CAPLUS

Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(2-nitrophenyl)-4-oxo-1-CNazetidinyl] - (9CI) (CA INDEX NAME)

328407-93-8 CAPLUS RN

Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-(3-nitrophenyl)-4-oxo-1-CNazetidinyl] - (9CI) (CA INDEX NAME)

RN

328407-94-9 CAPLUS
Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-oxo-4-(2-phenylethenyl)-CN 1-azetidinyl] - (9CI) (CA INDEX NAME)

RN 328407-95-0 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[3-chloro-2-oxo-4-(3,4,5-trimethoxyphenyl)-1-azetidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

AB Title compds. [I; R1 = H, alkyl; R2 = alkyl, chcloalkyl, aryl, pyridyl; R3 = H, alkyl, cycloalkyl; R4 = N, alkyl, alkoxy, (CH2)nA, (CH2)nYA; n = 1-5; A = alkyl, alkoxy; Y = O, s] and pharmaceutical acceptable salts are prepd. and tested as antiinflammatory agents having IL- 1, IL- 5, IL-6 inhibition effects and are useful as antiallergy agents in the treatment of chronic rheumatism in autoimmune diseases, osteoporosis in bone diseases. Thus, the title compd. II was prepd.

ACCESSION NUMBER: 2000:59980 CAPLUS

DOCUMENT NUMBER: 132:122619

TITLE: Preparation of 2,5,6-substituted benzimidazole

derivatives

INVENTOR(S): Saito, Shuji; Matsumoto, Taro; Nakamura, Toshio

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

II

FAIRNI ASSIGNES (5): Taisno Financeutical Co., Did., or

SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.

Patent

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 2000026430 A2 20000125 JP 1998-202744 19980702

PRIORITY APPLN. INFO.: JP 1998-202744 19980702

OTHER SOURCE(S): MARPAT 132:122619

IT 255917-73-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of substituted benzimidazole derivs.)

RN 255917-73-8 CAPLUS

CN Benzamide, 4-[5-(cyclohexyloxy)-6-(4-pyridinylsulfinyl)-1H-benzimidazol-2-yl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

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IT 255917-74-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted benzimidazole derivs.)

RN 255917-74-9 CAPLUS

CN Benzamide, 4-[5-(cyclohexyloxy)-6-(4-pyridinylsulfinyl)-1H-benzimidazol-2-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

L4 ANSWER 18 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB 2-(Benzimidazol-2-yl)benzoyl hydrazide (1) when condensed with arom. acids in the presence of POCl3 afforded 2-aryl-5-[2-(benzimidazol-2-yl)phenyl]-1,3,4-oxadiazoles. The acid hydrazide 1 on cyclization with CNBr yield 2-amino-5-[2-(benzimidazol-2-yl)phenyl]-1,3,4-oxadiazole which on reaction with aryl sulfonyl chlorides and substituted benzoyl chloride give the corresponding sulfonamides and amides, resp. All the products were evaluated in vitro for their antimicrobial activity against several microbes and antitubercular activity against Mycobacterium tuberculosis H37Rv.

ACCESSION NUMBER: 1999:533594 CAPLUS

DOCUMENT NUMBER: 131:322584

TITLE: Synthesis of 2, 5-disubstituted 1,3,4-oxadiazoles as

biologically active heterocycles

AUTHOR(S): Kagthara, Preeti R.; Shah, Niraj S.; Doshi, Rajeev K.;

Parekh, H. H.

CORPORATE SOURCE: Department of Chemistry, Saurashtra University,

Rajkot, 360 005, India

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1999),

38B(5), 572-576

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: Sournal English

IT 148438-23-7P

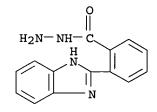
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(prepn. and antimicrobial activity of oxadiazoles)

RN 148438-23-7 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

131:243208

AB Substituted chalcones, prepd. by the treatment of 4-(5-benzoylbenzimidazol-2-yl-o-benzamido)acetophenone with araldehydes, on cyclization with hydroxylamine hydrochloride in EtOH furnish isoxazoles. The same chalcones on condensation with malononitrile yield cyanopyridines. Most of the compds. exhibit significant activity against Mycobacterium tuberculosis H37 Rv and MIC values are reported.

ACCESSION NUMBER: 1999:467099 CAPLUS

DOCUMENT NUMBER:

Synthesis and biological evaluation of some novel

isoxazoles and cyanopyridines, a new class of

potential anti-tubercular agents

AUTHOR(S):

CORPORATE SOURCE:

TITLE:

Doshi, Rajeev; Kagthara, Preeti; Parekh, Hansa Department of Chemistry, Saurashtra University,

Rajkot, 360 005, India

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1999),

38B(3), 348-352

CODEN: IJSBDB; ISSN: 0376-4699

CODEN: 135BDB; 155N: 0376-4699

PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal LANGUAGE: English

IT 244302-14-5P 244302-15-6P 244302-17-8P

244302-19-0P 244302-20-3P 244302-21-4P 244302-22-5P 244302-24-7P 244302-25-8P

244302-22-5P 244302-24-7P 244302-25-8P 244302-26-9P 244302-27-0P 244302-28-1P

244302-26-3P 244302-27-0P 244302-28-1P

244302-29-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and antitubercular activity of isoxazoles and cyanopyridines)

RN 244302-14-5 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-(1-oxo-3-phenyl-2-propenyl)phenyl]- (9CI) (CA INDEX NAME)

RN 244302-15-6 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(2-chlorophenyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-17-8 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(4-chlorophenyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-19-0 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(2,4-dichlorophenyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-20-3 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(3,4-

dimethoxyphenyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-21-4 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-[4-(dimethylamino)phenyl]-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-22-5 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(2-furanyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-24-7 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(4-methoxyphenyl)-1-

oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-25-8 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-26-9 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(2-nitrophenyl)-1-oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-27-0 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[3-(3-nitrophenyl)-1-

oxo-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-28-1 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-(1-oxo-5-phenyl-2,4-pentadienyl)phenyl]- (9CI) (CA INDEX NAME)

RN 244302-29-2 CAPLUS

CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]phenyl]- (9CI) (CA INDEX NAME)

IT 244302-30-5P 244302-31-6P 244302-32-7P 244302-33-8P 244302-34-9P 244302-35-0P

07/31/2003 10019105.trn

244302-36-1P 244302-37-2P 244302-38-3P 244302-39-4P 244302-40-7P 244302-41-8P 244302-42-9P 244302-43-0P 244302-44-1P 244302-45-2P 244302-47-4P 244302-48-5P 244302-49-6P 244302-50-9P 244302-51-0P 244302-52-1P 244302-53-2P 244302-54-3P 244302-55-4P 244302-56-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antitubercular activity of isoxazoles and cyanopyridines) RN 244302-30-5 CAPLUS Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-(5-phenyl-3-CNisoxazolyl)phenyl] - (9CI) (CA INDEX NAME)

RN 244302-31-6 CAPLUS
CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(2-chlorophenyl)-3isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-32-7 CAPLUS
CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(4-chlorophenyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

244302-33-8 CAPLUS RN

Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(2,4-dichlorophenyl)-CN3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN

244302-34-9 CAPLUS
Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(3,4-dimethoxyphenyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME) CN

RN 244302-35-0 CAPLUS
CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-[4-(dimethylamino)phenyl]-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

RN 244302-36-1 CAPLUS
CN Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(2-furanyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

244302-37-2 CAPLUS RN

Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(4-methoxyphenyl)-3-CNisoxazolyl]phenyl] - (9CI) (CA INDEX NAME)

RN

244302-38-3 CAPLUS
Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(4-hydroxy-3-methoxyphenyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME) CN

244302-39-4 CAPLUS RN

Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(2-nitrophenyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME) CN

RN

244302-40-7 CAPLUS
Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(3-nitrophenyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME) CN

RN244302-41-8 CAPLUS

Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(2-phenylethenyl)-3-CN isoxazolyl]phenyl] - (9CI) (CA INDEX NAME)

RN

244302-42-9 CAPLUS
Benzamide, 2-(5-benzoyl-1H-benzimidazol-2-yl)-N-[4-[5-(3,4,5-trimethoxyphenyl)-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME) CN

RN

244302-43-0 CAPLUS
Benzamide, N-[4-(6-amino-5-cyano-4-phenyl-2-pyridinyl)phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME) CN

RN

244302-44-1 CAPLUS
Benzamide, N-[4-[6-amino-4-(2-chlorophenyl)-5-cyano-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME) CN

RN

244302-45-2 CAPLUS
Benzamide, N-[4-[6-amino-4-(4-chlorophenyl)-5-cyano-2-pyridinyl]phenyl]-2-CN(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN

244302-47-4 CAPLUS
Benzamide, N-[4-[6-amino-5-cyano-4-(2,4-dichlorophenyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) CN(CA INDEX NAME)

RN 244302-48-5 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-(3,4-dimethoxyphenyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{NH}_2 \\ \text{NC} & \text{N} \\ \text{NH} & \text{O} \\ \text{NH} &$$

RN 244302-49-6 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-[4-(dimethylamino)phenyl]-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 244302-50-9 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-(2-furanyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 244302-51-0 CAPLUS

Benzamide, N-[4-[6-amino-5-cyano-4-(4-methoxyphenyl)-2-pyridinyl]phenyl]-2-CN(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN

244302-52-1 CAPLUS
Benzamide, N-[4-[6-amino-5-cyano-4-(4-hydroxy-3-methoxyphenyl)-2-CN pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 244302-53-2 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-(2-nitrophenyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 244302-54-3 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-(3-nitrophenyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 244302-55-4 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-(2-phenylethenyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 244302-56-5 CAPLUS

CN Benzamide, N-[4-[6-amino-5-cyano-4-(3,4,5-trimethoxyphenyl)-2-pyridinyl]phenyl]-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & N \\ & & & NH_2 \\ & & & O \\ & & & NC \\ & & & NH_2 \\ & & & & & NH_2 \\ & & & & & NH_2 \\ & & & & & & NH_2 \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & & \\ & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & & \\$$

IT 244302-57-6

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. and antitubercular activity of isoxazoles and cyanopyridines)

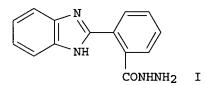
RN 244302-57-6 CAPLUS

CN Benzamide, N-(4-acetylphenyl)-2-(5-benzoyl-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 20 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI



New arylamides, sulfonamides, and 5-oxoimidazolines have been synthesized AB by condensation of the acid hydrazide I with arom. acid chlorides, arylsulfonyl chlorides, and azlactones in dry pyridine. All the products were evaluated in vitro for their antimicrobial activity against several microbes and antitubercular activity against Mycobacterium tuberculosis H37Rv.

ACCESSION NUMBER:

1999:108437 CAPLUS

DOCUMENT NUMBER:

130:252290

TITLE:

Synthesis of some arylamides, sulfonamides and

5-oxoimidazolines as novel bioactive compounds derived

from benzimidazole

AUTHOR (S):

Kagthara, Preeti R.; Shah, Niraj S.; Doshi, Rajeev K.;

Parekh, H. H.

CORPORATE SOURCE:

Department of Chemistry, Saurashtra University,

Rajkot, 360 005, India

SOURCE:

Heterocyclic Communications (1998), 4(6), 561-566

CODEN: HCOMEX; ISSN: 0793-0283

PUBLISHER:

Freund Publishing House Ltd.

DOCUMENT TYPE:

LANGUAGE:

Journal English

221466-81-5P 221466-83-7P 221466-85-9P 221466-86-0P 221466-88-2P 221466-90-6P 221466-92-8P 221466-94-0P 221466-95-1P 221466-96-2P 221466-97-3P 221466-99-5P 221467-00-1P 221467-01-2P 221467-03-4P 221467-04-5P 221467-05-6P 221467-06-7P 221467-07-8P 221467-08-9P 221467-09-0P 221467-10-3P 221467-11-4P 221467-12-5P 221467-13-6P 221467-14-7P 221467-15-8P 221467-16-9P 221467-17-0P 221467-18-1P 221467-19-2P 221467-20-5P 221467-21-6P 221467-22-7P 221467-23-8P 221467-24-9P 221467-26-1P 221467-29-4P 221467-32-9P 221467-36-3P 221467-41-0P 221467-45-4P

221467-48-7P 221467-52-3P 221467-55-6P

221467-58-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of arylamides, sulfonamides, and oxoimidazolines as bactericides, fungicides, and antitubercular agents)

RN221466-81-5 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-benzoylhydrazide (9CI) INDEX NAME)

RN

221466-83-7 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(4-bromobenzoyl)hydrazide (9CI) CN(CA INDEX NAME)

221466-85-9 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(2-chlorobenzoyl)hydrazide CN(9CI) (CA INDEX NAME)

RN 221466-86-0 CAPLUS

CNBenzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(4-chlorobenzoyl)hydrazide (9CI) (CA INDEX NAME)

RN221466-88-2 CAPLUS CN Benzoic acid, 3,4-dimethoxy-, 2-[2-(1H-benzimidazol-2-yl)benzoyl]hydrazide (9CI) (CA INDEX NAME)

RN 221466-90-6 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(2-hydroxybenzoyl)hydrazide (9CI) (CA INDEX NAME)

RN 221466-92-8 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(2-methoxybenzoyl)hydrazide (9CI) (CA INDEX NAME)

RN 221466-94-0 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(4-methoxybenzoyl)hydrazide (9CI) (CA INDEX NAME)

RN221466-95-1 CAPLUS

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(2-methylbenzoyl)hydrazide CN(9CI) (CA INDEX NAME)

RN

221466-96-2 CAPLUS Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(3-methylbenzoyl)hydrazide CN (9CI) (CA INDEX NAME)

RN

221466-97-3 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(4-methylbenzoyl)hydrazide CN(9CI) (CA INDEX NAME)

221466-99-5 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(2-nitrobenzoyl)hydrazide (9CI) CN (CA INDEX NAME)

RN221467-00-1 CAPLUS

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(3-nitrobenzoyl)hydrazide (9CI) CN(CA INDEX NAME)

RN

221467-01-2 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(1-oxo-3-phenyl-2-CNpropenyl)hydrazide (9CI) (CA INDEX NAME)

RN

221467-03-4 CAPLUS
Benzoic acid, 3,4,5-trimethoxy-, 2-[2-(1H-benzimidazol-2-CN yl)benzoyl]hydrazide (9CI) (CA INDEX NAME)

221467-04-5 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-(phenylsulfonyl)hydrazide (9CI) CN(CA INDEX NAME)

RN 221467-05-6 CAPLUS

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[[4-CN (acetylamino)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

RN

221467-06-7 CAPLUS
Benzoic acid, 2-(acetylamino)-5-[[2-[2-(1H-benzimidazol-2-CN yl)benzoyl]hydrazino]sulfonyl]- (9CI) (CA INDEX NAME)

221467-07-8 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(4-CNbromophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

RN

221467-08-9 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(3-carboxyphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME) CN

221467-09-0 CAPLUS RN

Benzoic acid, 5-[[2-[2-(1H-benzimidazol-2-yl)benzoyl]hydrazino]sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME) CN

RN 221467-10-3 CAPLUS

CN Benzoic acid, 5-[[2-[2-(1H-benzimidazol-2-yl)benzoyl]hydrazino]sulfonyl]-2-methoxy- (9CI) (CA INDEX NAME)

RN 221467-11-4 CAPLUS

CN Benzoic acid, 3-[[2-[2-(1H-benzimidazol-2-yl)benzoyl]hydrazino]sulfonyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 221467-12-5 CAPLUS

CN Benzoic acid, 5-[[2-[2-(1H-benzimidazol-2-yl)benzoyl]hydrazino]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

221467-13-6 CAPLUS RN

Benzoic acid, 3-[[2-[2-(1H-benzimidazol-2-yl)benzoyl]hydrazino]sulfonyl]-4-CN methyl- (9CI) (CA INDEX NAME)

RN

221467-14-7 CAPLUS Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(2-CNchlorophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

221467-15-8 CAPLUS RN

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(4-CNchlorophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

RN

221467-16-9 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(2,5-dibromophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME) CN

RN

221467-17-0 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(2,5-dichlorophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME) CN

RN

221467-18-1 CAPLUS
Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME) CN

RN 221467-19-2 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, 2-[[3-(2-carboxyethenyl)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

RN 221467-20-5 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-5-oxo-2-phenyl-4-(phenylmethylene)-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-21-6 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4-[(2-chlorophenyl)methylene]-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

221467-22-7 CAPLUS RN

CNBenzamide, 2-(1H-benzimidazol-2-yl)-N-[4-[(4-chlorophenyl)methylene]-4,5dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN

221467-23-8 CAPLUS Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4-[(3,4-dimethoxyphenyl)methylene]-CN4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN221467-24-9 CAPLUS

Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4-[[4-(dimethylamino)phenyl]methyle CN ne]-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-26-1 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4-(2-furanylmethylene)-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-29-4 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(2-hydroxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-32-9 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(4-hydroxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-36-3 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(4-hydroxy-3-methoxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-41-0 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(2-methoxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-45-4 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(4-methoxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-48-7 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(2-nitrophenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-52-3 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-4-[(3-nitrophenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

$$O_2N$$
 O_2N
 O_2N

RN 221467-55-6 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-5-oxo-2-phenyl-4-(3-phenyl-2-propenylidene)-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 221467-58-9 CAPLUS

CN Benzamide, 2-(1H-benzimidazol-2-yl)-N-[4,5-dihydro-5-oxo-2-phenyl-4-[(3,4,5-trimethoxyphenyl)methylene]-1H-imidazol-1-yl]- (9CI) (CA INDEX NAME)

IT 148438-23-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of arylamides, sulfonamides, and oxoimidazolines as bactericides, fungicides, and antitubercular agents)

RN 148438-23-7 CAPLUS

CN Benzoic acid, 2-(1H-benzimidazol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB The title reagent reacts selectively with aliph. and arom. aldehydes in the presence of sulfuric acid to form highly fluorescent derivs. which are used for the HPLC detn. of the aldehydes with laser-induced fluorescence detection.

ACCESSION NUMBER: 1997:390891 CAPLUS

DOCUMENT NUMBER: 127:144546

TITLE: 4-(1-Methyl-2-phenanthro[9,10-d]imidazol-2-yl)-

benzohydrazide as a derivatization reagent for aldehydes in high-performance liquid chromatography with conventional and laser-induced fluorescence

detection

AUTHOR(S): Iwata, Tetsuharu; Ishimaru, Takayuki; Yamaguchi,

Masatoshi

CORPORATE SOURCE: Fac. Pharmaceutical Sci., Fukuoka Univ., Fukuoka,

814-80, Japan

SOURCE: Analytical Sciences (1997), 13(3), 501-504

CODEN: ANSCEN; ISSN: 0910-6340

PUBLISHER: Japan Society for Analytical Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

IT 160768-24-1

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (4-(1-Methyl-2-phenanthro[9,10-d]imidazol-2-yl)-benzohydrazide as a derivatization reagent for aldehydes in high-performance liq.

chromatog. with conventional and laser-induced fluorescence detection)

RN 160768-24-1 CAPLUS

CN Benzoic acid, 4-(1-methyl-1H-phenanthro[9,10-d]imidazol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \hline & \\ \text{N} & \\ \hline & \\ \text{N} & \\ \hline & \\ \text{C-NH-NH}_2 \\ \\ \text{O} & \\ \end{array}$$

L4 ANSWER 22 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

Ι

AB 1,3,4-Oxadiazoles I (R = Ph, substituted Ph, CH:CHPh) have been synthesized by the cyclocondensation of acid hydrazide of 5-nitro-o-benzoylene-2,1-benzimidazole with different arom. acids in presence of POCl3, the same acid hydrazide was made to react with different azlactones II in dry pyridine which yielded 5-oxo-imidazolines III. All the products were screened for their antimicrobial activity against several microbes and antitubercular activity against Mycobacterium tuberculosis H37 Rv.

III

ACCESSION NUMBER:

1997:352800 CAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

127:81401

TITLE:

Synthesis of some novel 1,3,4-oxadiazoles and 5-oxo-imidazolines as potent biologically active

agents

AUTHOR(S):

Joshi, Dharti G.; Oza, Haresh B.; Parekh, H. H. Department of Chemistry, Saurashtra University,

Rajkot, 360 005, India

SOURCE:

Heterocyclic Communications (1997), 3(2), 169-174

CODEN: HCOMEX; ISSN: 0793-0283

PUBLISHER:
DOCUMENT TYPE:

Freund Journal

LANGUAGE: English

IT 191804-66-7P 191804-73-6P 191804-74-7P 191804-75-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn., bactericidal, fungicidal, and tuberculostatic activity of (benzimidazolylphenyl) oxadiazoles and (benzimidazolylbenzamido) imidazol

ones)

RN 191804-66-7 CAPLUS

CN Benzamide, N-[4-[(4-chlorophenyl)methylene]-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 191804-73-6 CAPLUS

CN Benzamide, N-[4,5-dihydro-4-[(2-hydroxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 191804-74-7 CAPLUS

CN Benzamide, N-[4,5-dihydro-4-[(4-hydroxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 191804-75-8 CAPLUS

CN Benzamide, N-[4,5-dihydro-4-[(4-methoxyphenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

IT 191804-48-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., bactericidal, fungicidal, and tuberculostatic activity of (benzimidazolylphenyl)oxadiazoles and (benzimidazolylbenzamido)imidazolones)

RN 191804-48-5 CAPLUS

CN Benzoic acid, 2-(5-nitro-1H-benzimidazol-2-yl)-, hydrazide (9CI) (CA INDEX NAME)

$$H_2N-NH-C$$
 N
 N
 N
 N

IT 191804-64-5P 191804-65-6P 191804-68-9P

191804-70-3P 191804-72-5P 191804-76-9P

191804-77-0P 191804-78-1P 191804-80-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., bactericidal, fungicidal, and tuberculostatic activity of (benzimidazolylphenyl)oxadiazoles and (benzimidazolylbenzamido)imidazolones)

RN 191804-64-5 CAPLUS

CN Benzamide, N-[4,5-dihydro-5-oxo-2-phenyl-4-(phenylmethylene)-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 191804-65-6 CAPLUS

CN Benzamide, N-[4-[(2-chlorophenyl)methylene]-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 191804-68-9 CAPLUS

CN Benzamide, N-[4-[(3,4-dimethoxyphenyl)methylene]-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 O_2N
 O_3N
 O_4N
 O_5N
 O_5N

RN 191804-70-3 CAPLUS

CN Benzamide, N-[4-[[4-(dimethylamino)phenyl]methylene]-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 O_2N
 O_3N
 O_4N
 O_5N
 O_5N

RN 191804-72-5 CAPLUS

CN Benzamide, N-[4-(2-furanylmethylene)-4,5-dihydro-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 191804-76-9 CAPLUS

CN Benzamide, N-[4,5-dihydro-4-[(2-nitrophenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 191804-77-0 CAPLUS

CN Benzamide, N-[4,5-dihydro-4-[(3-nitrophenyl)methylene]-5-oxo-2-phenyl-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 O_2N
 O_2N
 O_2N
 O_3N
 O_4N
 O_4N
 O_5N
 O_5N
 O_7N
 O_7N

RN 191804-78-1 CAPLUS

CN Benzamide, N-[4,5-dihydro-5-oxo-2-phenyl-4-(3-phenyl-2-propenylidene)-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 191804-80-5 CAPLUS

CN Benzamide, N-[4,5-dihydro-5-oxo-2-phenyl-4-[(3,4,5-trimethoxyphenyl)methylene]-1H-imidazol-1-yl]-2-(5-nitro-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{MeO} & & & \\ & & & \\ & & & \\ & & & \\ & &$$

L4 ANSWER 23 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

GI

The synthesis of 14 monocationic and six dicationic analogs of Hoechst 33258 is described. Seven monocationic benzimidazoles I [R1 = Q1, Q2, Q3; R2 = OH, OMe, OEt] and 3 dicationic benzimidazoles I [R1 = R2 = Q1, Q2, Q3] are obtained in 5 steps starting from 4-acetamidobenzonitrile. Seven monocationic bisbenzimidazoles II [R1 = Q1, Q2, Q3; R2 = OH, OMe, OEt] are synthesized in 4 steps starting from 4-amino-3-nitrobenzonitrile (III). The dicationic bisbenzimidazoles II [R1 = R2 = Q1, Q2, Q3] are obtained in 6 steps starting from III.

ACCESSION NUMBER: 1996:582799 CAPLUS

DOCUMENT NUMBER: 125:328595

TITLE: Synthesis of mono-cationic and dicationic analogs of

Hoechst 33258

AUTHOR(S): Czarny, Agnieszka; Wilson, W. D.; Boykin, David W.

CORPORATE SOURCE: Dep. Chem. Cent. Biotechnol. Drug Design, Georgia

State Univ., Atlanta, GA, 30303-3083, USA

SOURCE: Journal of Heterocyclic Chemistry (1996), 33(4),

1393-1397

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal LANGUAGE: English

IT 183296-08-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; prepn. of mono- and dicationic benzimidazoles and bisbenzimidazoles as analogs of Hoechst 33258)

RN 183296-08-4 CAPLUS

CN 1H-Benzimidazole-5-carboximidic acid, 2-[4-[imino(2-

methoxyethoxy)methyl]phenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ \parallel & \text{C-O-CH}_2\text{-CH}_2\text{-OMe} \\ \\ \text{MeO-CH}_2\text{-CH}_2\text{-O-C} \\ \parallel & \text{NH} \\ \end{array}$$

ANSWER 24 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN L4GI

$$N = N$$
 $N = N$
 $N =$

Title compds. (I; R = heterocyclyl, heteroaryl; R1 = fluoromethyl, halo, AΒ alkyl, alkoxy, etc.; R2,R3 = H, halo, alkyl, alkoxy, etc) were prepd. as hydrogen ion-sodium antiporter inhibitors (no data). Thus,

2-methyl-4-chloro-5-methylsulfonylbenzoic acid was aminated by imidazole and the Me ester amidated by quanidine to give title compd. II.

ACCESSION NUMBER:

1996:303752 CAPLUS

DOCUMENT NUMBER:

124:343303

TITLE:

Preparation of N-(heterocyclylbenzoyl) quanidines as

hydrogen ion-sodium antiporter inhibitors

INVENTOR (S):

Gericke, Rolf; Dorsch, Dieter; Baumgarth, Manfred;

Minck, Klaus-Otto; Beier, Norbert

PATENT ASSIGNEE(S):

SOURCE:

Merck Patent Gmbh, Germany

Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				EP 1995-113307	19950824
	EP 699666	B1	19990107		
	R: AT, BE, C	H, DE	, DK, ES, FR, G	B, GR, IE, IT, LI	, LU, NL, PT, SE
	DE 4430861	A1	19960307	DE 1994-4430861	19940831
	SK 281446	B6	20010312	SK 1995-1029	19950821
	AU 9530250	A1	19960314	AU 1995-30250	19950824
	AU 702258	B2	19990218		
	AT 175406	E	19990115	AT 1995-113307	19950824
	ES 2129716	T3	19990616	ES 1995-113307	19950824
	CA 2157146	AA	19960301	CA 1995-2157146	19950829
	CZ 286400		20000412	CZ 1995-2202	19950829
	NO 9503404	Α	19960301	NO 1995-3404	19950830
	ZA 9507284	A	19960402	ZA 1995-7284	19950830
	HU 73183			HU 1995-2548	
	CN 1126720	A	19960717	CN 1995-116901	
	CN 1126720 CN 1058004	В	20001101		
	ŬŜ 5753680	Α	19980519	US 1995-520780	19950830
	RU 2152390	C1	20000710	RU 1995-114847	19950830
	PL 183393			PL 1995-310224	
	JP 08073427	A2	19960319	JP 1995-245151	19950831
	BR 9503881	Α	19960917	BR 1995-3881	19950831
PRIO	RITY APPLN. INFO.:		DE	1994-4430861 A	
OTHER	R SOURCE(S):	MAI	RPAT 124:343303	·	
TO	176644 05 00				

IT 176644-25-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(heterocyclylbenzoyl)guanidines as hydrogen ion-sodium antiporter inhibitors)

RN

176644-25-0 CAPLUS
Benzamide, N-(aminoiminomethyl)-4-(1H-benzimidazol-2-yl)-2-methyl-5-CN(methylsulfonyl) - (9CI) (CA INDEX NAME)

Coo

L4 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

$$\mathbb{Z}$$
 \mathbb{R}^{N}
 \mathbb{R}^{2}
 \mathbb{R}^{N}
 \mathbb{R}^{N}

$$Q1 = -N$$
 $NR1$
 $Q2 = -N$
 $R1$

AB Benzimidazoles and imidazopyridines I [R = Q1, or Q2, where R1 = aryl or heteroaryl; R2 = H or C1-6 alkyl; X = Y(CH2)n, CONH(CH2)p, NHCO(CH2)p, C3-6 alkylene, alkenylene, alkynylene; Y = O, S, or NH; n = 2-5; p = 1-4; Z = N or CH] and their isomers and acid addn. salts are described. I are useful as CNS agents, particularly antipsychotic agents, and for the treatment of other disorders which respond to dopaminergic blockade, including psychotic depression, substance abuse, and compulsive disorders. For example, cyclization of 1,2-diaminobenzene with 4-[3-(4-phenylpiperazin-1-yl)propoxy]benzaldehyde in nitrobenzene [oxidizing solvent] at 160.degree. gave title benzimidazole deriv. II. Assays for inhibition of [3H]-spiperone binding to human D3 and D2 receptors by II gave IC50 values of 1.0 and 406 nM, resp., showing selectivity for D3 receptor. II also had ED50 of 2.3 mg/kg i.p. for inhibiting locomotor activity in rats.

ACCESSION NUMBER: 1996:150249 CAPLUS

DOCUMENT NUMBER: 124:202263

TITLE: Benzimidazole and imidazopyridine derivatives, their

preparation, and their use as dopaminergic agents

selective for the dopamine D3 receptor

TT

INVENTOR(S): Downing, Dennis Michael; Glase, Shelly Ann; Johnson,

Stephen Joseph; Wise, Lawrence David; Wright, Jonathan

Leonard

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9530659 A1 19951116 WO 1995-US3816 19950327

W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, UA, UZ

07/31/2003 10019105.trn

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 5486517 Α 19960123 US 1994-240355 19940510 AU 9521978 A1 19951129 AU 1995-21978 19950327 ZA 9503752 Α 19960111 ZA 1995-3752 19950509 PRIORITY APPLN. INFO.: US 1994-240355 19940510 WO 1995-US3816 19950327

OTHER SOURCE(S): MARPAT 124:202263

IT 174266-39-8P 174266-40-1P 174266-41-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole and imidazopyridine derivs. as D3-selective dopaminergic antagonists)

RN 174266-39-8 CAPLUS

CN Benzamide, 4-(1H-benzimidazol-2-yl)-N-[2-(4-phenyl-1-piperazinyl)ethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 & & \\
C-NH-CH_2-CH_2-N
\end{array}$$
Ph

RN 174266-40-1 CAPLUS

CN Benzamide, 4-(1H-benzimidazol-2-yl)-N-[2-[4-[2-(propylthio)phenyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 174266-41-2 CAPLUS

CN Benzamide, 4-(1H-benzimidazol-2-yl)-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

*

07/31/2003

10019105.trn

L4 ANSWER 26 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

ΔR Dimeric benzimidazoles I [wherein R is NR1R2 wherein R1 and R2 are each the same or different and each is alkyl of from 1 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, alkynyl of from 2 to 6 carbon atoms, arylalkyl wherein alkyl is from 1 to 6 carbon atoms, 2-thienylalkyl wherein alkyl is from 1 to 6 carbon atoms or R1 and R2 together with the nitrogen which they substitute form a 1-piperidinyl, or 1-pyrrolidinyl ring or R is II; X is alkyl of from 2 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, or alkynyl of from 2 to 6 carbon atoms; Y is O(CH2)n wherein n is an integer of from 2 to 6, or CONH(CH2)p wherein p is zero or an integer of from 1 to 6; and Z is hydrogen, hydroxyl, alkyl of from 1 to 6 carbon atoms, alkoxy of from 1 to 6 carbon atoms, or Y--R wherein Y and R are as defined above; and corresponding isomers thereof; or a pharmaceutically acceptable acid addn. salt thereof] are described, as well as methods for the prepn. and pharmaceutical compn. of same, which are useful as central nervous system agents and are particularly useful as antipsychotic agents and for the treatment of disorders which respond to dopaminergic blockade including psychotic depression, substance abuse, and compulsive disorders. Thus, e.g., alkenylation of 2-[4-[3-(1pyrrolidinyl)propoxy]phenyl]-1H-benzimidazole (prepn. given) with trans-1,4-dichloro-2-butene afforded (E)-1,1'-(2-butene-1,4-diyl)bis[2-[4-[3-(1-pyrrolidinyl)propoxy]phenyl]-1H-benzimidazole] which inhibited [3H] spiperone binding to human D3 receptors with IC50 = 9 nM vs. 56 nM for human D2 receptors.

ACCESSION NUMBER: 1995:602401 CAPLUS

DOCUMENT NUMBER: 123:55882

TITLE: Dimeric benzimidazoles as selective dopamine D3

receptor antagonists

INVENTOR(S): Downing, Dennis M.; Wise, Lawrence D.; Wright,

Jonathan L.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 11 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 1995-US3814 19950327 WO 9530658 19951116 A1 W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, UA, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 1995-21976 19950327 AU 9521976 Α1 19951129 19950509 ZA 9503751 19960111 ZA 1995-3751 Α PRIORITY APPLN. INFO.: US 1994-240354 19940510 WO 1995-US3814 19950327

OTHER SOURCE(S): MARPAT 123:55882

IT 164917-33-3P 164917-34-4P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(dimeric benzimidazoles as selective dopamine D3 receptor antagonists)

RN 164917-33-3 CAPLUS

CN Benzamide, 4,4'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl)]bis[N-[(1-ethyl-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)

RN 164917-34-4 CAPLUS

CN Benzamide, 4,4'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl)]bis[N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

L4 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

AB Title compds. [I; R = substituted Bz, (un)substituted carbamoyl, etc.; R1 = H, (hydroxy)alkyl; R2 = (un)substituted phenyl(oxy)alkyl; NR1R2 = (un)substituted pyrrolidino, -piperidino, morpholino, -1,2,3,4-tetrahydroisoquinolino] were prepd. Thus, title compd. II gave 24.0mL/min increase in femoral artery blood flow at 10-30.mu.L of a 100nM soln. intra-arterially in dogs.

ACCESSION NUMBER: 1995:511433 CAPLUS

DOCUMENT NUMBER: 123:198624

TITLE: Preparation of N-benzoylpiperidine-4-amines as

peripheral vasodilators

INVENTOR(S): Fujioka, Takafumi; Teramoto, Shuji; Tanaka, Michinori;

Shimizu, Hiroshi; Tabusa, Fujio; Tominaga, Michiaki

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 505 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT I	NO.		KII	ND	DATE			P	PP	LIC	CATI	ON	NO.	DA	TE.				
	- 								-			- - -								
WO	9422	826		A:	L	1994	1013		V	Ю	199	94 - J	P54	9	19	940	0404			
	W:	ΑU,	CA,	CN,	KR,	US														
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	G	R,	ΙE,	IT	, LU	J, M	IC,	NL,	PT,	SE	
CA	21369	999		A.	4	1994	1013		C	'A	199	94-2	136	999	19	940	0404			
AU	94629	928		A:	L	1994	1024		7	U	199	4-6	292	8	19	940	0404			
AU	6742	07		B	2	1996	1212													
EP	6504	76		A:	L	1995	0503		E	P	199	4 - 9	105	93	19	940	0404			
EP	6504	76		В:	L	2002	0626													
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	G	R,	ΙE,	ΙT	, LI	, L	υ,	MC,	NL,	PT,	SE
CN	11044	412		Ā		1995	0628		Ċ	'N	199	4-1	901	81	19	940	0404			
CN	1052	224		В		2000	0510													
AT	2197	66		E		2002	0715		P	T	199	4-9	105	93	19	940	0404			
ES	2179	071		T:	3	2003	0116		E	S	199	4 - 9	105	93	19	940	0404			
	06340						1213													
	2825					1998	1118													
	56566					1997	0812		υ	JS	199	4 - 3	474	54	19	941	1206			
US	57600	058		Α		1998	0602		U	IS	199	7-7	943	22	19	970	203			
	1003						0927								19	980	0403			
US	61368	826		Α		2000	1024		τ	IS	199	8-6	693	0	19	980	0428			
PRIORIT														Α						
									WO 1	99	4 - J	TP54	9	W	19	940	0404			

07/31/2003

10019105.trn

US 1994-347454 A3 19941206 US 1997-794322 A3 19970203

OTHER SOURCE(S):

MARPAT 123:198624

IT 167621-61-6P

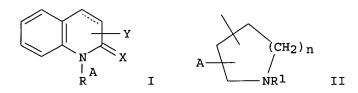
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-benzoylpiperidine-4-amines as peripheral vasodilators)

RN 167621-61-6 CAPLUS

CN 4-Piperidinamine, 1-[4-(1H-benzimidazol-2-yl)benzoyl]-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L4ANSWER 28 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI



AB A method of inhibiting oxytocin from acting at its receptor site by administering oxytocin receptor antagonist compds. of the formula I wherein X is oxygen or sulfur; Y is hydrogen or lower alkyl; RA is II. IC50 (nM) values were detd. for both [3H]oxytocin and [3H]vasopressin: 560-2500 and 39-320, resp. Pharmaceutical formulations were given.

ACCESSION NUMBER:

1995:227441 CAPLUS

DOCUMENT NUMBER:

122:105695

TITLE:

Carbostyril oxytocin receptor antagonists

INVENTOR(S):

Freidinger, Roger M.; Pawluczyk, Joseph M.; Pettibone, Douglas J.; Williams, Peter D.

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA

SOURCE:

U.S., 177 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5356904	Α	19941018	US 1992-957491	19921007
WO 9519773	A1	19950727	WO 1994-US847	19940119

W: CA, JP

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE PRIORITY APPLN. INFO.: US 1992-957491 19921007

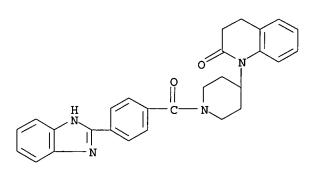
OTHER SOURCE(S): MARPAT 122:105695

141134-70-5P TΤ

> RL: SPN (Synthetic preparation); PREP (Preparation) (carbostyril oxytocin receptor antagonists)

RN

141134-70-5 CAPLUS
Piperidine, 1-[4-(1H-benzimidazol-2-yl)benzoyl]-4-(3,4-dihydro-2-oxo-1(2H)-CNquinolinyl) - (9CI) (CA INDEX NAME)



ANSWER 29 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN T.4

AB 4-(1-Methylphenanthro[9,10-d]imidazol-2-yl)benzohydrazide was developed as a highly sensitive and selective fluorescence derivatization reagent for carboxylic acids in HPLC. The reaction conditions were optimized with C16-C20 linear satd. fatty acids. The derivatization reaction proceeded in aq. soln. in the presence of pyridine and 1-ethyl-3-(3dimethylaminopropyl)carbodiimide at mild temps. The resulting fluorescent derivs. were sepd. by reversed-phase (C18) liq. chromatog. with aq. methanol and were detected with conventional fluorescence detection at 460 nm with excitation at 325 nm. The detection limits (signal-to-noise ratio = 3) for the acids are 2-12 fmol for an injection vol. of 10 .mu.L. The fluorescent derivs. display an excitation max. at 325 nm, which coincides closely with the light emission of the helium-cadmium laser. Hence, HPLC with the reagent was combined with helium-cadmium laser-induced fluorescence detection. Using this system, attomole detection limits (70-100 amol on-column) were achieved for various carboxylic acids.

ACCESSION NUMBER:

1995:71801 CAPLUS

DOCUMENT NUMBER:

122:122239

TITLE:

4-(1-Methylphenanthro[9,10-d]imidazol-2-

yl)benzohydrazide as derivatization reagent for carboxylic acids in high-performance liquid

chromatography with conventional and laser-induced

fluorescence detection

AUTHOR(S):

Iwata, Tetsuharu; Hirose, Tsuyoshi; Nakamura, Masaru;

Yamaquchi, Masatoshi

CORPORATE SOURCE:

Fac. Pharmaceutical Sciences, Fukuoka Univ., Fukuoka,

814-80, Japan

SOURCE:

Analyst (Cambridge, United Kingdom) (1994), 119(8),

1747-51

CODEN: ANALAO; ISSN: 0003-2654

DOCUMENT TYPE:

Journal English

LANGUAGE:

160768-24-1P

RL: ARG (Analytical reagent use); PNU (Preparation, unclassified); ANST (Analytical study); PREP (Preparation); USES (Uses)

(prepn. and use of methylphenanthroimidazolylbenzohydrazide

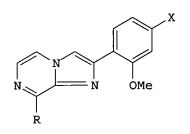
derivatization reagent for carboxylic acids in HPLC with conventional and laser-induced fluorescence detection)

RN 160768-24-1 CAPLUS

Benzoic acid, 4-(1-methyl-1H-phenanthro[9,10-d]imidazol-2-yl)-, hydrazide CN (CA INDEX NAME)

L4 ANSWER 30 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

Ι



AB A series of 2-arylimidazo[1,2-a]pyrazines I (X = SOMe, CONH2, O3SMe; R = H, OMe) has been prepd. and evaluated for inotropic activity. I (X = SOMe, R = OMe) (BW315C) displayed potent inotropic effects having comparable in vitro and and in vivo inotropic potencies to those of isomazole. Structure-activity relationships are discussed.

ACCESSION NUMBER:

1994:409327 CAPLUS

DOCUMENT NUMBER:

121:9327

TITLE:

Synthesis and pharmacological properties of BW315C and

other inotropic 2-arylimidazo[1,2-a]pyrazines

AUTHOR (S):

Barraclough, Paul; Black, James W.; Cambridge, David; Gerskowitch, V. Paul; Giles, Heather; Glen, Robert C.;

Hull, Robert A. D.; Iyer, Ramachandran; King, W.

Richard; et al.

CORPORATE SOURCE:

Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE:

Bioorganic & Medicinal Chemistry Letters (1993), 3(4),

509-14

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 121:9327

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)

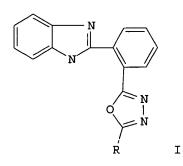
(inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 \\
C-NH_2
\end{array}$$

ANSWER 31 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN L4GI



Title compds. I [R = (un) substituted Ph, etc.] were prepd. by AB

hydrazinolysis of o-benzoyl-2,1-benzimidazole followed by cyclization with

RCO2H. The bactericidal activity of I was screened.

ACCESSION NUMBER:

1993:449309 CAPLUS

DOCUMENT NUMBER:

119:49309

TITLE:

1,3,4-Oxadiazoles. Part XIV: 2-Aryl-5-(o-benzimidazol-

2'-yl-phenyl)-1,3,4-oxadiazoles

AUTHOR (S):

Bapodra, Atul; Joshi, Nailesh; Pandya, Ajay; Parekh,

Hansa

CORPORATE SOURCE:

Chem. Dep., Saurahtra Univ., Rajkot, 360 005, India

SOURCE:

Journal of the Institution of Chemists (India) (1992),

64(2), 65-6

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 119:49309

148438-23-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (prepn. and cyclization of, with carboxylic acids)

RN 148438-23-7 CAPLUS

Benzoic acid, 2-(1H-benzimidazol-2-yl)-, hydrazide (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} O \\ \parallel \\ H_2N-NH-C \\ H \\ N \\ \end{array}$$

07/31/2003 10019105.trn

L4 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB The title compds. are prepd. by treating arom. diamines having 2 amino groups at adjacent carbons with nitrile compds. in the presence of Ru complexes. Treating o-phenylenediamine with PhCN in AcNMe2 in the presence of RuCl2(PPh3)3 at 160.degree. for 24 h gave 81% 2-phenylbenzimidazole.

ACCESSION NUMBER:

1993:80794 CAPLUS

DOCUMENT NUMBER:

118:80794

TITLE:

Preparation of condensed aromatic imidazoles

INVENTOR(S):

Yamashita, Mitsuhiro; Imai, Yoshio; Kakimoto, Masaaki

PATENT ASSIGNEE(S):

Tokuyama Soda Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 5 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

Japanes

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04279571	A2	19921005	JP 1991-103272	19910305
PRIORITY APPLN. INFO.	:		JP 1991-103272	19910305
OTHER SOURCE(S):	CA	SREACT 118:	80794	
IT 145855-32-9P				

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 145855-32-9 CAPLUS

CN Benzamide, 4-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

07/31/2003 10019105.trn

L4ANSWER 33 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

The title reagent was prepd. and characterized by structural anal. for use ΑB as a derivatization reagent for detn. of carboxylic acids by high-performance liq. chromatog. using fluorometric detection. reagent is useful for the highly sensitive (femtomole levels) HPLC detn.

of linear satd. fatty acids.

ACCESSION NUMBER: 1993:72807 CAPLUS

DOCUMENT NUMBER:

AUTHOR (S):

118:72807

TITLE: 4-(5,6-Dimethoxy-2-benzimidazoyl)benzohydrazide as

fluorescence derivatization reagent for carboxylic acids in high-performance liquid chromatography

Iwata, Tetsuharu; Nakamura, Masaru; Yamaguchi,

Masatoshi

CORPORATE SOURCE: Fac. Pharm. Sci., Fukuoka Univ., Fukuoka, 814-01,

Japan

SOURCE: Analytical Sciences (1992), 8(6), 889-92

CODEN: ANSCEN; ISSN: 0910-6340

DOCUMENT TYPE: Journal LANGUAGE: English

145697-65-0P, 4-(5,6-Dimethoxy-2-benzimidazoyl) benzohydrazide RL: SPN (Synthetic preparation); ANST (Analytical study); PREP

(Preparation)

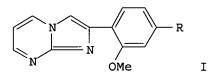
(prepn. and use of, as derivatization reagent for detn. of carboxylic acids by high-performance liq. chromatog. using fluorometric detection)

RN145697-65-0 CAPLUS

Benzoic acid, 4-(5,6-dimethoxy-1H-benzimidazol-2-yl)-, hydrazide (9CI) CN(CA INDEX NAME)

$$\stackrel{\text{MeO}}{\underset{\text{MeO}}{\bigvee}} \stackrel{\text{H}}{\underset{\text{N}}{\bigvee}} \stackrel{\text{C-NH-NH}_2}{\underset{\text{O}}{\bigvee}}$$

L4 ANSWER 34 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI



AB A series of 2-arylimidazo[1,2-a]pyrimidines were prepd. and evaluated for inotropic activity. Thus, 2-aminopyrimidine was treated with 2,4-(MeO)2C6H3COCH2Br to give 52% I (R = MeO). Three of these heterocycles I (R = MeO, MeS, MeSO3) displayed more potent inotropic effects in vitro than isomazole. The in vivo inotropic potencies of I (R = MeSO3, NH2CO) were similar to those of isomazole and sulmazole resp. The effects of some 'A' and 'C' ring substituents on the inotropic activities of the imidazo[1,2-a]pyrimidines were different from those on the imidazopyridines. Nevertheless the inotropic potencies of several imidazo[1,2-a]pyrimidines were closed to those of their 1H-imidazo[4,5-b]pyridine isomers than to those of the corresponding isomazole analogs. Structure-activity relationships are discussed in detail.

ACCESSION NUMBER:

1992:571309 CAPLUS

DOCUMENT NUMBER:

117:171309

TITLE:

Inotropic 2-arylimidazo[1,2-a]pyrimidines

AUTHOR (S):

Barraclough, P.; Black, J. W.; Cambridge, D.; Capon, E.; Cox, M. R.; Firmin, D.; Gerskowitch, V. P.; Giles,

H.; Glen, R. C.; et al.

CORPORATE SOURCE:

Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE:

European Journal of Medicinal Chemistry (1992), 27(3),

207-17

CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE:

Journal

LANGUAGE:

English

IT 89469-25-0 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(inotropic activity of)

RN 89469-25-0 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\bigcap_{N}^{H}\bigcap_{OMe}^{C-NH_2}$$

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \\ & & \\ & \\ N & \\ & N \\ & \\ & OMe \\ \end{array}$$

ΙI

10019105.trn

L4 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

$$Y = N$$
 $X = N$
 $X =$

AB Title compds. I [X = O,S; Y = H, C1-6 alkyl; R = Q, Q1; A = C1-6 alkenyl; R1 = (substituted) benzoyl; n = 1,2; R2 = substituted benzoyl; dotted line is optional double bond; with provisos] were prepd. as vasopressin antagonists. Thus, 1-(4-piperidinyl)-3,4-dihydrocarbostyril hydrochloride and Lawesson's Reagent were refluxed for 40 h in toluene to give 1-(4-piperidinyl)-3,4-dihydrothiocarbostyril. This was condensed with 4-ethoxy-2-methoxybenzoic acid in the presence of bis(2-oxooxazolidin-3-yl)phosphinyl chloride and Et3N to give title compd. II. II had IC50 of 0.73 .mu.M against [3H]-vasopressin binding to rat liver plasma membrane. Formulations contg. I were prepd.

ACCESSION NUMBER: 1992:214371 CAPLUS

DOCUMENT NUMBER: 116:214371

TITLE: Preparation of carbostyril derivatives as vasopressin

antagonists

INVENTOR(S): Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi;

Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime;

Tanaka, Michinori; Kitano, Kazuyoshi; Fujioka,

Takafumi; et al.

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 60 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT NO.		KIND	DATE		APPLICATION NO.	DATE
EP	470514		A1	19920212		EP 1991-112999	19910802
EP	470514		B1	19970219			
	R: CH,	DE,	DK, ES	, FR, GB,	IT,	LI, NL, SE	
ES	2100187		Т3	19970616		ES 1991-112999	19910802
ΑŲ	9181574		A1	19920305		AU 1991-81574	19910805
ΑU	638346		B2	19930624			
US	5300513		Α	19940405		US 1991-740676	19910806
CN	1058779		Α	19920219		CN 1991-105415	19910807
CN	1036651		В	19971210			
JP	05004984		A2	19930114		JP 1991-197607	19910807

07/31/2003 10019105.trn

JP 3165867 B2 20010514

PRIORITY APPLN. INFO.: JP 1990-210025 A 19900807 OTHER SOURCE(S): MARPAT 116:214371

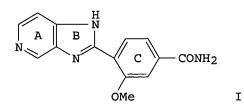
IT 141134-70-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as vasopressin antagonist)

RN 141134-70-5 CAPLUS

CN Piperidine, 1-[4-(1H-benzimidazol-2-yl)benzoyl]-4-(3,4-dihydro-2-oxo-1(2H)-quinolinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI



AB Isomazole analogs, e.g., I, which have achiral electron withdrawing substituents at the 4'-position and analogs with heterocyclic 'C' rings were prepd. and evaluated as inotropic agents. Pyridyl could replace Ph in the 'C' ring without loss of activity. The 4'-methylsulfonyl, -cyano, -carboxamido, and acetyl analogs had similar inotropic potencies to Isomazole while displaying superior cardiovascular profiles in in vivo studies.

ACCESSION NUMBER:

1990:591241 CAPLUS

DOCUMENT NUMBER:

113:191241

TITLE:

Cardiotonic C ring modified isomazole analogs

AUTHOR (S):

Barraclough, Paul; Black, James W.; Cambridge, David;

Demaine, Derek A.; Gerskowitch, V. Paul; Giles, Heather; Hill, Alan P.; Hull, Robert A. D.; Lyer,

Ramachandran; et al.

CORPORATE SOURCE:

Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (1990),

323(8), 507-12

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE:

LANGUAGE:

Journal English

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 \\
C-NH_2
\end{array}$$
OMe

IT 130179-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

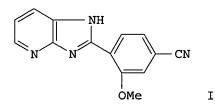
(prepn. of)

RN 130179-79-2 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

L4 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI



As series of 2-substituted 1H-imidazo[4,5-b]pyridines, e.g., I, and the isomeric 1H-imidazo[4,5-c]pyridine derivs. was prepd. by, e.g., condensing 2,3-diaminopyridine with 2,4-(MeO)(NC)C6H3COCl, and evaluated as inotropic agents. The 1H-imidazo-[4,5-b] derivs. were consistently more potent than their isomers in the [4,5-c] series in isolated guinea pig papillary muscle prepns. Structure-activity relationships and the species-dependence of inotropic potencies are discussed.

ACCESSION NUMBER:

1990:591240 CAPLUS

DOCUMENT NUMBER:

113:191240

TITLE:

Inotropic activities of imidazopyridines

AUTHOR (S):

Barraclough, Paul; Black, James W.; Cambridge, David;

Gerskowitch, V. Paul; Hull, Robert A. D.; Lyer, Ramachandran; King, W. Richard; Kneen, Clare O.;

Nobbs, Malcolm S.; et al.

CORPORATE SOURCE:

Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (1990),

323(8), 501-5

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE:

LANGUAGE:

Journal English

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ C-NH_2 \end{array}$$

IT 89469-25-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and inotropic activity of)

RN 89469-25-0 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX

NAME)

$$\begin{array}{c|c} O \\ \parallel \\ C-NH_2 \end{array}$$

IT 89454-64-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN

89454-64-8 CAPLUS
Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy-, monohydrochloride CN(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ C-NH_2 \end{array}$$

● HCl

ANSWER 38 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN L4GI

The title compds. [I; R = QZ; Q = R3-R5-substituted phenyl; R1 = H, alkyl, AB alkenyl, cycloalkyl; R2 = H, cyano, alkyl, alkenyl, (un)substituted CO2H; R1R2 = alkylidene, cycloalkylidene; R3-R5 = H, OH, alkoxy, alkylthio, halo, NO2, cyano, etc.; X = O, S; Z = bond, alkylene, vinylene) were prepd., e.g., by condensation of indolinone II (R6 = R7 = NH2) with QZCOC1. II (R1 = R2 = Me, R6 = NO2, R7 = NH2) was stirred with BzCl and the product hydrogenated over Pd/C to give 81% I (R = Ph, R1 = R2 = Me, X = 0). Similarly prepd. I [R = 2,4-(MeO)2C6H3, R1 = R2 = Me, X = O] gave an increase of rat heart contractility of 4.2 mmHq/s at 10 mg/kg i.v.

ACCESSION NUMBER:

1989:515180 CAPLUS

DOCUMENT NUMBER:

111:115180

TITLE:

Preparation of 6,7-dihydro-3H,5H-pyrrolo[2,3f]benzimidazol-6-ones as cardiovascular agents

INVENTOR(S):

Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang;

Mueller-Beckmann, Bernd; Strein, Klaus; Schaumann,

Wolfgang

PATENT ASSIGNEE(S):

Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SOURCE:

U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 807,260.

CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4810801	Α	19890307	US 1987-103895	19871001
DE 3445669	A1	19860619	DE 1984-3445669	19841214
US 4710510	Α	19871201	US 1985-807260	19851210
PRIORITY APPLN. INFO.	:		DE 1984-3445669	19841214
			US 1985-807260	19851210

CASREACT 111:115180; MARPAT 111:115180 OTHER SOURCE(S):

TΤ 122455-08-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as cardiovascular agent)

122455-08-7 CAPLUS RN

Benzamide, 3-methoxy-4-(1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-CNf]benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ Me & Me & \\ & & \\ N & & \\ & & \\ N & & \\ & & \\ OMe & \\ \end{array}$$

L4 ANSWER 39 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

AB The 1,2-dimethyl-1,2,4-benzotriazines I (R1 = H, R2 = Ph; R1 = CF3, R2 = Me, Ph) were prepd. by acid-catalyzed cyclization of the 2-aminophenylhydrazine derivs. Compds. I (R1 = H, CF3 R2 = Ph) undergo a thermal elimination to fully arom. benzotriazines. However, the 2-acyl deriv. II rearranges to the thermodynamically more stable 4-acyl compd. In the presence of traces of water, a ring contraction to benzimidazoles is a competing reaction. For II the nitrogen fragment is retained in the benzamide III. A mechanism for the ring contraction was suggested in which initial hydration of the imine bond gives 1,2,3,4-tetrahydrobenzotriazines which then recyclize to benzimidazoles. The benzimidazo[2,1-a]phthalazine IV was shown not to be an intermediate in the water-mediated ring contraction of II.

ACCESSION NUMBER:

1989:407347 CAPLUS

DOCUMENT NUMBER:

111:7347

TITLE:

Synthesis and thermal reactions of

1,2-dihydro-1,2,4-benzotriazines

AUTHOR (S):

King, Frank D.

CORPORATE SOURCE:

Res. Div., Beecham Pharm., Harlow/Essex, UK

SOURCE:

Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999)

(1988), (12), 3381-5

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 111:7347

T 120914-45-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 120914-45-6 CAPLUS

CN Benzamide, 2-[1-methyl-5-(trifluoromethyl)-1H-benzimidazol-2-yl]- (9CI)

(CA INDEX NAME)

$$\begin{array}{c|c}
Me & 0 \\
H_2N-C \\
N & N
\end{array}$$

07/31/2003 10019105.trn

L4 ANSWER 40 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB The title sheets are prepd. by wet spinning together polymers with high rigidity and heat-bondable polymers to form fibrids and then hot pressing the fibrids. Thus, a mixt. of 20.0 parts poly(p-phenylenebenzobisthiazole) and 20.0 parts poly(m-phenyleneisophthalamide-terephthalamide) in 2600 parts methanesulonic acid was spun into a coagulating bath, shread in a mixer, and washed to give fibrids. A slurry contg. these fibrids was fed to a papermaking machine and pressed 15 h at 310.degree. to give a heat-resistant paper substitute with ratio of tensile strength in MPa to modulus in GPa 19:15.

ACCESSION NUMBER:

1987:198029 CAPLUS

DOCUMENT NUMBER:

106:198029

TITLE:

Synthetic fibrids for heat-resistant high-modulus

sheets

INVENTOR (S):

Mera, Hiroshi; Nishihara, Toshio; Endo, Zenichiro Agency of Industrial Sciences and Technology, Japan

PATENT ASSIGNEE(S): SOURCE:

Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: LANGUAGE: Patent Japanese

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62006958	A2	19870113	JP 1985-144577	19850703
US 4749753	A	19880607	US 1986-880828	19860701
PRIORITY APPLN. INFO.	:		JP 1985-144576	19850703
			JP 1985-144577	19850703
			JP 1985-144578	19850703
			JP 1985-163057	19850725

IT 26615-36-1

RL: USES (Uses)

(fiber, biconstituent with polyazole fibers, fibrids, for heat-resistant paper substitutes)

RN 26615-36-1 CAPLUS

CN Poly[(1-phenyl-1H-benzimidazole-2,5-diyl)iminocarbonyl-1,4-phenylene]
(9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB The title fibrids for manuf. of heat-resistant tough paper substitutes are prepd. by spinning or extruding liqs. contg. polymers with high rigidity and heat-bondable matrix polymers into a coagulating bath, drawing the fibers or films, and then pulverizing them. Thus, a mixt. of 20.0 parts poly(p-phenylenebenzothiazole) and 20.0 parts poly(m-phenyleneisophthalamide-terephthalamide) in 2600 parts methanesulfonic acid was spun into a coagulating bath, drawn 30% in H2O, washed, dried, drawn 10% at 450.degree., and fibrillated in a beater to give fibrids. A slurry contg. these fibrids was fed to a papermaking machine and pressed 15 h at 310.degree. to give a heat-resistant paper substitute with high bending strength.

ACCESSION NUMBER: 1987:198028 CAPLUS

DOCUMENT NUMBER: 106:198028

TITLE: Manufacture of heat-bondable synthetic fibrids INVENTOR(S): Mera, Hiroshi; Nishihara, Toshio; Endo, Zenichiro

PATENT ASSIGNEE(S): Agency of Industrial Sciences and Technology, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN. TRANS

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62006916	A2	19870113	JP 1985-144578	19850703
US 4749753	Α	19880607	US 1986-880828	19860701
PRIORITY APPLN. INFO.	:		JP 1985-144576	19850703
			JP 1985-144577	19850703
			JP 1985-144578	19850703
			.TD 1985-163057	19850725

IT 26615-36-1

RL: USES (Uses)

(fiber, biconstituent with polyazole fibers, fibrids for paper substitutes)

RN 26615-36-1 CAPLUS

CN Poly[(1-phenyl-1H-benzimidazole-2,5-diyl)iminocarbonyl-1,4-phenylene]
(9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB The title fibrids for heat-resistant paper substitutes are prepd. by wet spinning together polymers with high rigidity and heat-bondable polymers and then fibrillating the fibers by shearing. Thus, a mixt. of 20.0 g poly(p-phenylenebenzobisthiazole) and 20.0 g poly(m-phenyleneisophthalamide-terephthalamide) in 2.6 kg methanesulfonic acid was spun into a coagulating bath, sheared in a mixer, and washed to give fibrids. A slurry contg. these fibrids was fed to a papermaking machine and pressed 15 h at 310.degree. to give a heat-resistant paper substitute with ratio of tensile strength in MPa to modulus in GPa 35:15.

ACCESSION NUMBER: 1987:198027 CAPLUS

DOCUMENT NUMBER: 106:198027

TITLE: Heat-resistant heat-bondable synthetic fibrid

manufacture

INVENTOR(S): Mera, Hiroshi; Nishihara, Toshio; Endo, Zenichiro

PATENT ASSIGNEE(S): Agency of Industrial Sciences and Technology, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62006915	A2	19870113	JP 1985-144576	19850703
US 4749753	Α	19880607	US 1986-880828	19860701
PRIORITY APPLN. INFO	:		JP 1985-144576	19850703
			JP 1985-144577	19850703
			JP 1985-144578	19850703
			JP 1985-163057	19850725

IT 26615-36-1

RL: USES (Uses)

(fiber, biconstituent with synthetic fibers, fibrids, heat-resistant)

RN 26615-36-1 CAPLUS

CN Poly[(1-phenyl-1H-benzimidazole-2,5-diyl)iminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

ANSWER 43 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN T.4 GI

$$\begin{array}{c|c}
N & (CH_2)_4 & N \\
N & N \\
R & III
\end{array}$$

AB Indole and benzimidazoleamidine derivs., including I (R = C(:NH)NH2, H; R1 = C(:NH)NH2, H, or NO2), II (R = C(:NH)NH2, CN, or C(:NH)OEt; R1 = C(:NH)NH2, H, CN, or C(:NH)NH2), and III (R = C(:NH)NH2, CN, or C(:NH)OEt) were synthesized by previously reported methods. On screening for antimalarial activity in mice infected with Plasmodium berghei, I; (R = 5-C(:NH)NH2; R1 = 4'-C(:NH)NH2) [66639-01-8] and II; (R = 5(6)-C(:NH)NH2; [66639-16-5] had better antimalarial activity than the R1 = 4-C(:NH)NH2)other synthesized compds. tested; however, the antimalarial effect of these 2 compds. was lower than the previously tested antimalarial agent, 4',6-diamidinyl-2-phenylimidazole.

ACCESSION NUMBER: 1986:101983 CAPLUS

DOCUMENT NUMBER:

104:101983

TITLE:

Synthesis and antimalarial activity of some indole and

benzimidazole amidine derivatives

AUTHOR(S):

CORPORATE SOURCE:

Zhang, Xiuping; Chen, Gendi; Xie, Xiaoyun Shanghai Inst. Pharm. Ind., Shanghai, Peop. Rep. China

SOURCE:

Yiyao Gongye (1985), 16(9), 394-9 CODEN: YIGODN; ISSN: 0255-7223

DOCUMENT TYPE:

Journal Chinese

LANGUAGE:

TΤ 100562-31-0P 100562-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 100562-31-0 CAPLUS

CN 1H-Benzimidazole-5-carboximidic acid, 2-[4-(ethoxyiminomethyl)phenyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 100562-55-8 CAPLUS

CN 1H-Benzimidazole-5-carboximidic acid, 2-[4-(ethoxyiminomethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4ANSWER 44 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

GI

AB Fused-ring imidazoles I [1-3 of X-X3 = R4N, the remainder = CO, R5C; R4 = H, alkyl; R5 = alkoxy, PhCH2O, HO, halo; R1 = alkyl, alkoxy, PhCH2O, R6S(O)n, halo, amino, NO2, CO2H, alkanamido, acyl (e.g., cyano, carbamoyl, sulfamoyl, alkoxycarbonyl); R2, R3 = H, alkyl, alkoxy, OH, R6S(O)n, amino, halo, NO2, alkanamido, acyl; R6 = alkyl; n = O-2] were prepd. Thus, 2,4-(MeO) (MeS) C6H3CO2H and 4,5-diamino-3(2H)-pyridazinone were heated 90 min at 100-110.degree. in polyphosphoric acid to give 12% imidazopyridazinone II. In cats 2.0 mg II/kg gave a 72% increase in the heart contractility parameter and increased arterial blood pressure 10%.

ACCESSION NUMBER:

1986:5871 CAPLUS

DOCUMENT NUMBER:

104:5871

TITLE:

2-Phenylimidazoles and a drug containing these

compounds

INVENTOR(S):

Austel, Volkhard; Heider, Joachim; Hauel, Norbert; Reiffen, Manfred; Nickl, Josef; Van Meel, Jakobus C.

A.; Diederen, Willi

PATENT ASSIGNEE(S):

Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 66 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
	3347290	A1	19850711	DE 1002 2247200	19831228
_	537992	A1	19851101	ES 1984-537992	19841127
DK	8406102	A	19850629	DK 1984-6102	19841219
EP	149200	A1	19850724	EP 1984-116009	19841220
	R: AT, B	E, CH, DE	, FR, GB,	IT, LI, LU, NL, SE	
US	4722929	A	19880202	US 1984-684052	19841220
JP	60172980	A2	19850906	JP 1984-281991	19841225
FI	8405117	Α	19850629	FI 1984-5117	19841227
NO	8405252	Α	19850701	NO 1984-5252	19841227
DD	231355	A5	19851224	DD 1984-271864	19841227
HU	37618	A2	19860123	HU 1984-4843	19841227
ZA	8410057	Α	19860924	ZA 1984-10057	19841227
AU	8437211	A1	19850704	AU 1984-37211	19841228
ES	543082	A1	19860101	ES 1985-543082	19850513
ES	543083	A1	19860101	ES 1985-543083	19850513
ES	543084	A1	19860101	ES 1985-543084	19850513
ES	543085	A1	19860101	ES 1985-543085	19850513
ES	543086	A1	19860101	ES 1985-543086	19850513
PRIORITY	APPLN. IN	FO.:		DE 1983-3347290	19831228

07/31/2003

10019105.trn

OTHER SOURCE(S):

CASREACT 104:5871

IT 99445-95-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antihypotensive and inotropic agent)

RN 99445-95-1 CAPLUS

CN Benzamide, 4-(4,5-dihydro-4-oxo-1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy-(9CI) (CA INDEX NAME)

L4ANSWER 45 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN GI

AΒ Dibenzodiazocines I (NR2 = 4-methyl-1-piperazinyl, 4-morpholinyl, 4-carbethoxy-1-piperazinyl; R1 = H, Me) were prepd. Dibenzodiazocinedione II was heated with 1-methylpiperazine, TiCl4, and PhOMe to give I (NR2 = 4-methyl-1-piperazinyl, R1 = H).

ACCESSION NUMBER:

1985:560482 CAPLUS

DOCUMENT NUMBER:

103:160482

TITLE:

Titanium tetrachloride-induced functionalization of

dibenzo[b, f] [1, 4] diazocine-6, 11-(5H, 12H) -diones

AUTHOR (S):

Venugopalan, Bindumadhavan; Iyer, Sivasailam Suresh;

De Souza, Noel John

CORPORATE SOURCE:

Res. Cent., Hoechst India Ltd., Bombay, 400 080, India

SOURCE:

Heterocycles (1985), 23(6), 1425-30 CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 103:160482

98096-47-0P 98096-81-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN

98096-47-0 CAPLUS
Piperazine, 1-methyl-4-[2-(5-nitro-1H-benzimidazol-2-yl)benzoyl]- (9CI) CN (CA INDEX NAME)

RN98096-81-2 CAPLUS

CNPiperazine, 1-methyl-4-[2-(5-methyl-1H-benzimidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)

ANSWER 46 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN L4GI

Antihypertensive and inotropic fused-ring imidazoles I (R = substituted AB Ph; R1R2 = CH:CHCH:N, CH:NCH:N, substituted CH:CHCH:CH) were prepd. Thus, 2,4-(MeO) (PhCH2O) C6H3CO2H was cyclocondensed with C6H4(NH2)2-1,2 to give 53% II (R3 = PhCH2). This was hydrogenolized over Pd/C to give 95.8% II (R3 = H), which was esterified with MeSO2Cl to give 41.9% II (R3 = MeSO2) (III). In cats 2 mg III/kg had a contractility parameter dp/dtmax of 94 and reduced blood pressure 24 mm.

ACCESSION NUMBER:

1984:139110 CAPLUS

DOCUMENT NUMBER:

100:139110

TITLE:

Imidazole derivatives and a pharmaceutical containing

these compounds

INVENTOR (S):

Hauel, Norbert; Austel, Volkhard; Heider, Joachim;

Reiffen, Manfred; Diederen, Willi

PATENT ASSIGNEE(S):

Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 63 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT NO.	;	KIND	DATE		AP	PLICATION NO.	DATE
DE	3224512		A1	19840105		DE	1982-3224512	19820701
FΙ	8302022		Α	19840102		FI	1983-2022	19830606
ΕP	98448		A2	19840118		EP	1983-106026	19830621
ΕP	98448		A3	19850403				
	R: AT,	BE, C	H, DE	, FR, IT,	LI,	LU, 1	NL, SE	
US	4582837		Α	19860415		US	1983-506454	19830621
SU	1316559		A3	19870607		SU	1983-3606327	19830621
CS	254319		B2	19880115		CS	1983-4724	19830627
NO	8302356		A	19840102		NO	1983-2356	19830629
DD	210271		A5	19840606		DD	1983-252527	19830629
DK	8303013		A	19840102		DK	1983-3013	19830630
ΑU	8316428		A1	19840105		AU	1983-16428	19830630
GB	2122995		A 1	19840125		GB	1983-17732	19830630
GB	2122995		B2	19860212				
JP	59027875		A2	19840214		JP	1983-119476	19830630
HU	31210		0	19840428		HU	1983-2382	19830630
HU	192152		В	19870528				
ES	523709		A1	19841001		ES	1983-523709	19830630
za	8304777		Α	19850327		ZA	1983-4777	19830630
PL	142880		B1	19871231		\mathtt{PL}	1983-242770	19830630
PL	144589		B1	19880630		$_{ m PL}$	1983-260157	19830630
ES	529174		A1	19841001		ES	1984-529174	19840126
ES	529175		A1	19841001		ES	1984-529175	19840126
SU	1179924		A3	19850915		SU	1984-3699112	19840201
US	4696931		Α	19870929		US	1985-728754	19850430

PRIORITY APPLN. INFO.:

DE 1982-3224512 US 1983-506454

19820701 19830621

OTHER SOURCE(S):

CASREACT 100:139110

89454-65-9P 89454-66-0P 89469-11-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN89454-65-9 CAPLUS

Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy-N-methyl- (9CI) CN

(CA INDEX NAME)

89454-66-0 CAPLUS RN

CN Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN89469-11-4 CAPLUS

CNBenzamide, 3-methoxy-N-methyl-4-(1H-purin-8-yl)- (9CI) (CA INDEX NAME)

IT 89454-64-8P 89469-10-3P 89469-25-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn., antihypertensive, and inotropic activity of) 89454-64-8 CAPLUS

RN

Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy-, monohydrochloride CN (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \hline \\ C-NH_2 \\ \hline \\ N \end{array}$$

● HCl

RN 89469-10-3 CAPLUS

CN Benzamide, 3-methoxy-4-(1H-purin-8-yl)- (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigcap_{N} \bigcap_{OMe} C-NH_2$$

RN 89469-25-0 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-b]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \\ & & \\ & \\ N & \\ N & \\ & OMe \\ \end{array}$$

L4 ANSWER 47 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB A series of copolymers contg. benzimidazole/benzamide, benzimidazole/benzimide, and benzimidazole/benzimidazolone repeat units were synthesized by condensation reactions and evaluated for their thermooxidative stabilities and soly. properties. Each copolymer exhibited the soly. characteristics of its least sol. component. Thus the benzimidazole/benzamides and the benzimidazole/benzimidazolones were insol. in Me2SO and AcNMe2. Relative stabilities to the early stages of thermooxidative degrdn. of the benzimidazole/benzamides and the benzimidazole/benzimide copolymers were compared by IR monitoring of isothermally aged (350.degree.) films. They ranged from comparable to slightly superior to benzimidazole homopolymer. Relative thermooxidative stabilities of the benzimidazole/benzimidazolone copolymer and the benzimidazole (PBI) and benzimidazolone (BBB) homopolymers were compared by similar monitoring of films cast from H2SO4. The PBI/BBB copolymer is comparable to but less stable than BBB homopolymer and considerably superior to PBI homopolymer.

ACCESSION NUMBER: 1980:586850 CAPLUS

DOCUMENT NUMBER: 93:186850

TITLE: Synthesis and thermooxidative properties of a series

of benzimidazole copolymers

AUTHOR(S): Kane, J. J.; Lu, S. L.; Ghosh, S.; Bashe, J.; Conley,

R. T.

CORPORATE SOURCE: Dep. Chem., Wright State Univ., Dayton, OH, 45435, USA

SOURCE: Polymer Preprints (American Chemical Society, Division

of Polymer Chemistry) (1978), 19(1), 660-7

CODEN: ACPPAY; ISSN: 0032-3934

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 75236-89-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and thermal oxidative properties of)

RN 75236-89-4 CAPLUS

CN Poly([5,5'-bi-1H-benzimidazole]-2,2'-diyl-1,4-phenylenecarbonylimino-1,3-phenyleneiminocarbonyl-1,4-phenylene) (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

ANSWER 48 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN L4GΙ

RCONHCHR¹CONH

$$O = N$$
 $O = N$
 O

AB .beta.-Lactams I and II (R = Q, Q1; R1 = optionally substituted Ph, monocyclic arom. heterocyclic, dihydrophenyl; R2 = H, OAc, heterocyclylthio; R3 = H, lower alkyl; R4 = H, optionally substituted alkyl, aryl, or heterocyclic; R5 = H, halogen, lower alkyl, alkoxy; X = CH, N; X1 = bond, CH2O; X2 = bond, C6H4; X3 = bond, lower alkylene, oxyalkylene) were prepd. Thus, 3.5 g ampicillin was treated with 2.93 g 2-phenyl-5-benzimidazolecarbonyl chloride-HCl to give 4.2 g I (R = 2-phenyl-5-benzimidazolecarbonyl, R1 = Ph).

ACCESSION NUMBER:

1978:424333 CAPLUS

DOCUMENT NUMBER:

89:24333

TITLE:

.beta.-Lactam compounds

INVENTOR (S):

Schorr, Manfred; Schrinner, Elmar; Worm, Manfred;

Schmitt, Wilfried

PATENT ASSIGNEE(S):

Hoechst A.-G., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 78 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
DE 2641060	A1	19780316	DE 1976-2641060	19760911
PRIORITY APPLN. INFO.	:		DE 1976-2641060	19760911
		_		

IT 66631-31-0P 66631-82-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

66631-31-0 CAPLUS RN

4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[4-(1Hbenzimidazol-2-yl)benzoyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

K

RN 66631-82-1 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[(acetyloxy)methyl]-7-[[[[4-(1H-benzimidazol-2yl)benzoyl]amino]phenylacetyl]amino]-8-oxo-, [6R-[6.alpha.,7.beta.(R*)]](9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 49 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB Polymers for medical use (polyesters, polyamides, polyimides, poly(vinyl chloride) [9002-86-2] and silicone rubber) were implanted s.c. into dogs or were immersed in a buffer soln. (pH 7.4) at 37.degree. for 26 months. No changes were obsd. in both treatments as detd. by differential interference microscopy, x-ray diffractometry, viscometry and IR spectroscopy. However, some additives were released by the poly(vinyl chloride) prepns.

ACCESSION NUMBER: 1977:444211 CAPLUS

DOCUMENT NUMBER: 87:44211

TITLE: Interaction between polymeric materials and tissue

AUTHOR(S): Kojima, Kohichi; Imai, Yohji; Masuhara, Eiichi

CORPORATE SOURCE: Inst. Med. Dent. Eng., Tokyo Med. Dent. Univ., Tokyo,

Japan

SOURCE: Kobunshi Ronbunshu (1977), 34(4), 267-73

CODEN: KBRBA3; ISSN: 0386-2186

DOCUMENT TYPE: Journal LANGUAGE: Japanese

IT 26615-36-1

RL: PRP (Properties)

(stability of, as prosthetics, in tissues)

RN 26615-36-1 CAPLUS

CN Poly[(1-phenyl-1H-benzimidazole-2,5-diyl)iminocarbonyl-1,4-phenylene]
(9CI) (CA INDEX NAME)

L4 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB Polyoxadiazole fibers and films were manufd. by extruding a soln. of 2-15% resin in 75-110% H2SO4 optionally contg. .gtoreq.1 inorg. salt into a coagulation bath contg. an aq. soln. of H2SO4, a lower aliphatic carboxylic acid, and(or) a mixt. of these acids with .gtoreq.1 inorg. acids and amide compds., washing the fibers or films with water and then contacting them with buffer soln., amine, amide, or a salt of a weak acid or a metal hydroxide. For example, a soln. of polyoxadiazole [26023-46-1] resin in fuming H2SO4 (prepd. by reacting terephthalic acid, and hydrazine sulfate, in fuming H2SO4) was dild. with 95% H2SO4 at 80.degree. to obtain 4% soln. with a viscosity of 2500 P. The resin soln. was extruded into a 54% ag. soln. of H2SO4 at 62.degree. and coagulated at a linear velocity of 5.5 m/min. The coagulated filament was treated in a water bath for 10 min, an aq. NaOH [141-43-5] soln., another water bath, and drawn 3 times its original length and dried. The resulting filaments had a fineness of 7.2 denier, tenacity 3.6 g/denier, breaking elongation 50% and a Young's modulus 72 g/denier. They showed no change in tenacity after heating at 350.degree. in air for 10 hr and at 200.degree.for 5000 hr.

ACCESSION NUMBER:

1975:533210 CAPLUS

DOCUMENT NUMBER:

83:133210

TITLE:

Forming polyoxadiazole series resin solution into

shaped articles

INVENTOR(S):

Sekiguchi, Hideo; Sadamitsu, Kazuo

PATENT ASSIGNEE(S):

Furukawa Electric Co., Ltd., Japan

SOURCE:

U.S., 12 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
US 3886251	Α	19750527		US 1973-393978	19730904
JP 52000062	B4	19770105		JP 1967-23982	19670415
JP 52021014	B4	19770608		JP 1967-23981	19670415
PRIORITY APPLN. INFO.	:		JP	1967-22981	19670411
			JP	1967-22984	19670411
			JP	1967-23981	19670415
			JP	1967-23982	19670415
			US	1968-717961	19680401
			US	1972-313797	19721211

IT 29188-82-7P

RL: PREP (Preparation)

(fiber and films, gelled state treatment by buffer and basic compds. in manuf. of, for improved thermal stability)

RN 29188-82-7 CAPLUS

CN Poly[(5,5'-bi-1H-benzimidazole]-2,2'-diyl-1,4-

phenylenecarbonylhydrazocarbonyl-1,4-phenylene) (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

Gelled, swollen polyoxadiazole fibers and films were made by successively contacting the cyclic arom. dicarboxylic acid-hydrazine deriv. copolymers with aq. H2SO4, water, aq. base or Mg salt, and water, so that the articles had good mech. strength and resistance to humidity. Thus hydrazine sulfate-4,4'-oxydibenzoic acid copolymer [54547-58-9] (prepd. in the presence of H2SO4.SO3) was poured on a glass plate coagulated in aq. H2SO4, and contacted with aq. NaHCO3 followed by water to give a swollen gelled film with elongation at break 124% and tensile strength 1.040 kg/cm2. The sample and one with the same mech. properties which had not been treated with aq. NaHCO3 were heated 4 weeks at 180.degree. to give products with resp. elongation at break 48 and 16% and resp. tensile strength 1050 and 620 kg/cm2.

ACCESSION NUMBER: 1975:480629 CAPLUS

DOCUMENT NUMBER: 83:80629

TITLE: Poly(1,3,4-oxadiazole) resin articles

INVENTOR(S): Sekiguchi, Hideo; Sadamitsu, Kazuo; Oda, Junichiro;

Hirasa, Katsuyoshi

PATENT ASSIGNEE(S): Furukawa Electric Co., Ltd., Japan

SOURCE: Fr. Demande, 27 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
FR 2228809	A1	19741206	FR 1974-15775	19740507
FR 2228809	B1	19800425		
GB 1422177	A	19760121	GB 1973-21780	19730508
AU 7468136	A1	19751023	AU 1974-68136	19740422
IT 1017586	A	19770810	IT 1974-22419	19740508
PRIORITY APPLN.	INFO.:		GB 1973-21780	19730508

IT 29188-82-7

RL: USES (Uses)

(fiber)

RN 29188-82-7 CAPLUS

CN Poly[(5,5'-bi-1H-benzimidazole]-2,2'-diyl-1,4-

phenylenecarbonylhydrazocarbonyl-1,4-phenylene) (9CI) (CA INDEX NAME)

L4 ANSWER 52 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

The model reactions between phthalic anhydride (I) [85-44-9] and o-phenylenediamine (II) [95-54-5] were studied under conditions analogous to the polymn. and postcyclization of dianhydrides with bis (o-diamines) to form poly(imidazopyrrolone). Thus, I was condensed with II in DMF to give 80% N-(o-aminophenyl) phthalamic acid (III) [7297-65-6] which when stored in aq. DMF gave a 2:1 mixt. of N-(o-aminophenyl) phthalimide (IV) [4506-62-1] and 2-(o-carboxyphenyl) benzimidazole (V) [16529-06-9]. Sublimation of IV at 200.deg. gave 11H-isoindolo[2,1.alpha.] benzimidazol-11-one (VI). O-phenylenebibenzimidazole and N,N'-diphthaloyl-o-phenylenediamine were obtained as by-products of the melt reaction of I and II. When 10% III in DMF was heated at 152-4.deg. it gave IV and V. When III was melted at 155.deg. it gave 36% V, 19% VI, and a benzimidazole-amide-imide (VII) [35411-16-6]. The IR spectra of the model compds. are given.

ACCESSION NUMBER: 1972:448851 CAPLUS

DOCUMENT NUMBER: 77:48851

TITLE: Poly(imidazopyrrolone) model compounds

AUTHOR(S): Young, Philip R.

CORPORATE SOURCE: Langley Res. Cent., NASA, Hampton, VA, USA

SOURCE: Journal of Heterocyclic Chemistry (1972), 9(2), 371-8

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE: English

L4 ANSWER 53 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

AB Poly(amide amines) (I) are ring-closed by the heat treatment to give heat-resistant polybenzimidazoles (II), which are sol. in polar org. solvents and useful as fibers and films. E.g., 2.72 g 2,4-diaminodiphenylamine-2HCl, 4.24 g Na2CO3, 50 ml H2O, and 42 ml THF (III) are stirred rapidly in a blender and the soln. is mixed with 2.03 g terephthaloyl chloride in 17 ml III and stirred 10 hr to give I (yellow powder), which is heated 6 hr at 300.degree. in vacuo to give II, which is sol. in HCO2H, dichloroacetic acid, and m-cresol, and shows thermal stability at .ltoreq.430.degree..

ACCESSION NUMBER:

1970:488408 CAPLUS

DOCUMENT NUMBER:

73:88408

TITLE:

Manufacturing polybenzimidazoles

INVENTOR(S):

Hara, Shigeyoshi; Seo, Masao; Uchida, Moriya

PATENT ASSIGNEE(S):

Teijin Ltd.

SOURCE:

Jpn. Tokkyo Koho, 4 pp.

CODEN: JAXXAD

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

. 1

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45022555	B4	19700730	JP	19671019

IT 26615-36-1P

RL: PREP (Preparation)

(prepn. of)

RN 26615-36-1 CAPLUS

CN Poly[(1-phenyl-1H-benzimidazole-2,5-diyl)iminocarbonyl-1,4-phenylene]
(9CI) (CA INDEX NAME)

ANSWER 54 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN T.4

A poly(oxadiazole) prepd. from terephthalic acid and hydrazine sulfate in AB fuming H2SO4 is treated with iso-Pr2SO4, PrOH, Et2SO4, propylene, or a similar compd. in H2SO4 to form N-alkylhydrazide units in the polymer chains. These modified polymers exhibit good soly. in org. solvents and are fusible, making them easier to handle than the untreated, infusible, insol. poly(oxadiazoles). After molding or extrusion, the modified polymers are heated (>200.degree.) to form oxadiazole rings from the N-alkylhydrazide units and are used in laminates.

ACCESSION NUMBER:

1970:467218 CAPLUS

DOCUMENT NUMBER:

73:67218

TITLE:

Stable high temperature resins with N-alkylhydrazide

structural units

INVENTOR(S):

Sekiguchi, Hideo; Sadamitsu, Kazuo

PATENT ASSIGNEE(S):

Furukawa Electric Co., Ltd.

SOURCE:

Ger. Offen., 33 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE _____ ______ DE 1902591 19700702

JP

19680122

PRIORITY APPLN. INFO.: 29188-82-7 IT

RL: USES (Uses)

(polyoxadiazoles from, conversion to poly(alkylhydrazides) for processing and regeneration of polyoxadiazoles)

RN29188-82-7 CAPLUS

Poly[(5,5'-bi-1H-benzimidazole]-2,2'-diyl-1,4-CN

phenylenecarbonylhydrazocarbonyl-1,4-phenylene) (9CI) (CA INDEX NAME)

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ANSWER 55 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN
     For diagram(s), see printed CA Issue.
GI
     Title compds. having the general formula I are prepd. by condensing
AB
     (COC1)2 with 1-aminoanthraquinone (II) or substituted II to form the
     monoamide, which is then condensed with 1,2-diaminoanthraquinone (III) or
     substituted III. Thus, 8 parts finely powd. II was slowly added to
     stirred mixt. of p-C6H4(COCl)2 (IV) and 80 parts dry PhNO2 at 80.degree.,
     the mixt. held at 95-100.degree. until no II could be detected (.apprx.2
     hrs.), chilled, filtered, and washed with PhNO2 to give
     1-[p-(chlorocarbonyl)-benzamido]anthraquinone (V), yellow crystals. To a
     soln. of 3.6 parts III in 100 parts dry PhNO2 at 120.degree. was added 0.5
     part dry pyridine and 5.9 parts V, the mixt. heated in 40 min. to
     180-90.degree., and stirred for 1 hr. at 180-90.degree. and for 1 hr. at
     200-10.degree. to give I (X = p-C6H4, Y = Z = H), fine needles, greenish yellow on cotton. Similarly, other I were prepd. (X, Y, Z, and shade on
     cotton given): 2,5,1,4-Cl2C6H2, H, H, orange; p-C6H4, H, NHCOC6H4COCl,
     orange; p-C6H4, H, OMe, orange; 2,5-thiophenediyl, H, H, yellow;
     4-C6H4C6H4-4, H, H, yellow; p-C6H4, Br, H, yellow; 2,5-pyridinediyl, H, H,
     greenish yellow. Similarly, VI and III gave a green dye.
ACCESSION NUMBER:
                          1966:491179 CAPLUS
DOCUMENT NUMBER:
                          65:91179
ORIGINAL REFERENCE NO.:
                          65:17096a-d
                          Anthraguinone-imidazole vat dyes
TITLE:
                          CIBA Ltd.
PATENT ASSIGNEE(S):
SOURCE:
                          19 pp.
                          Patent
DOCUMENT TYPE:
LANGUAGE:
                          Unavailable
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                             APPLICATION NO.
     PATENT NO.
                       KIND DATE
                                                               DATE
     BE 668789
                             19660225
PRIORITY APPLN. INFO.:
                                          CH
                                                               19640826
     10252-08-1, 1H-Anthra[1,2-d]imidazole-6,11-dione,
     2-[p-(1-anthraquinonylcarbamoyl)phenyl]- 10252-24-1,
     1H-Anthra[1,2-d]imidazole-6,11-dione, 2-[p-(2-
     anthraquinonylcarbamoyl) phenyl] - 13018-02-5,
     1H-Anthra[1,2-d]imidazole-6,11-dione, 2-[4-(1-anthraquinonylcarbamoyl)-2,5-
     dichlorophenyl] -
        (prepn. of)
     10252-08-1 CAPLUS
RN
CN
     Benzamide, N-(9,10-dihydro-9,10-dioxo-1-anthracenyl)-4-(6,11-dihydro-6,11-
```

dioxo-1H-anthra[1,2-d]imidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 10252-24-1 CAPLUS

CN Benzamide, N-(9,10-dihydro-9,10-dioxo-2-anthracenyl)-4-(6,11-dihydro-6,11dioxo-1H-anthra[1,2-d]imidazol-2-yl)- (9CI) (CA INDEX NAME)

RN

13018-02-5 CAPLUS 1H-Anthra[1,2-d]imidazole-6,11-dione, 2-[4-(1-anthraquinonylcarbamoyl)-2,5-dichlorophenyl]- (7CI, 8CI) (CA INDEX NAME) CN

ANSWER 56 OF 56 CAPLUS COPYRIGHT 2003 ACS on STN

For diagram(s), see printed CA Issue. GI AB

cf. CA 62, 13253a; 63, 4405f. One mole PhOH in 80 ml. C5H5N was added dropwise to 1.1 mole isophthaloyl chloride (I) in 800 ml. anhyd. C6H6, refluxed for 2 hrs., filtered, the ppt. treated with 500 ml. boiling C6H6, filtered and the 2 filtrates combined and evapd. The residue was fractionally distd. to give a 38% yield of Ph m-chloroformylbenzoate (II), b0.5 162.degree., m. 69-70.degree.. Bis[4-(phenoxycarbonyl)-phenyl]-N,N'isophthalamide (III), m. 301.degree., was prepd. in 60% yield by adding 0.015 mole I in 20 ml. AcNMe2 to 0.03 mole Ph p-aminobenzoate (IV), 9 ml. Et3N, and 60 ml. AcNMe2 at -15.degree., stirring 10 min. at -15.degree. and 45 min. at room temp., filtering the ppt. of Et3N.HCl, pptg. III from the filtrate with 500 ml. H2O, drying, and recrystg. from a mixt. of EtOH and HCONMe2. Similarly, bis(3-phenoxycarbonylphenyl)-N,N'-isophthalamide (V), m. 219.degree., bis[N-(4-phenoxycarbonylphenyl)-4-carbamoylphenyl] ether, m. 325.degree., and bis[N-(3-phenoxycarbonylphenyl)-4carbamoylphenyl]ether, m. 199.degree., were prepd. from I and Ph m-aminobenzoate (VI), bis(4-chloroformylphenyl) ether (VII), and IV, and VI and VII, resp. VII, m. 81.degree., was prepd. by the method of Bosshard (CA 54, 3296b). Likewise, 1,3-bis(3-phenoxycarbonylbenzoylamino)benzene (VIII), m. 253.degree., and bis[4-(3-phenoxycarbonylbenzoylamino)phenyl]et her (IX), m. 283.degree., were prepd. in 65% yield by recrystn. from PhNO2 by the condensation of m-phenylenediamine (X) and II, and 4,4'-diaminodiphenyl ether (XI) and II, resp. The melting together of 1 q. VIII and 1 q. o-phenylenediamine (XII) in vacuo for 45 min. at 250.degree. and 1 hr. at 300.degree., washing of the product with Et20, treatment with boiling PhNO2, and washing again with Et2O, gave a 46% yield of m-bis[3-(2-benzimidazolyl)benzoylamino]benzene, m. 357.degree.. Similarly, bis[4-[3-(2-benzimidazolyl)benzoylamino]phenyl] ether, m. 391.degree., was obtained in 47% yield by recrystn. from HOAc from 2.16 g. IX and 1.5 g. XII. Polymers XIII-XV were prepd. XIII was prepd. by melting together 1.853 g. (XV) VIII and 0.71 g. 3,3'-diaminobenzidine (XVI) at 300.degree. and heating for 5 hrs. at 300-400.degree.. Similarly, XIV was prepd. from 2.16 g. IX and 0.71 g. XVI, and XV from 4.77 g. V and 1.83 g. XVI. XIII was also prepd. by dissolving 4.77 g. VIII in 15 ml. Me2SO at 120-70.degree. in an inert atm., adding 1.83 g. XVI, refluxing at 180-200.degree. for 2.25 hrs., distg. the solvent, and heating the residue for 1 hr. at 250-300.degree., and then in vacuo at 300-80.degree. for 3.5 hrs. Inherent viscosity at 0.5% in concd. H2SO4 at 30.degree. was 0.24, 0.19, and 0.20 for XIII, XIV, and XV, resp. The ir and uv spectra showed the disappearance of the CO and ester groups, and the appearance of the benzimidazole group. Similar unordered polymers were obtained by melting together Ph isophthalate, PhOLi, and XVI with either X or XI and heating in vacuo at 300-400.degree.. In thermal stability testing at a 60.degree./hr. temp. rise, all 3 polymers showed a start of wt. loss in Ar and air at 400.degree., reaching 10 and 25-50% loss at 500.degree. in Ar and air, resp.

ACCESSION NUMBER: 1966:104885 CAPLUS

DOCUMENT NUMBER: 64:104885

ORIGINAL REFERENCE NO.: 64:19810c-h,19811a

Thermostable polymers. IV. Poly(amide benzimidazoles) TITLE: AUTHOR(S): Rabilloud, Guy; Sillion, Bernard; Gaudemaris, Gabriel

CORPORATE SOURCE: Inst. Français Petrole, Grenoble, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1966), (3),

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal LANGUAGE: French

7522-68-1, Benzamide, N,N'-m-phenylenebis[3-(2-benzimidazolyl)-

7522-69-2, Benzamide, N,N'-(oxydi-p-phenylene)bis[3-(2benzimidazolyl) -

RN

(prepn. of)
7522-68-1 CAPLUS
Benzamide, N,N'-m-phenylenebis[3-(2-benzimidazolyl)- (7CI, 8CI) (CA INDEX CN

RN

7522-69-2 CAPLUS
Benzamide, N,N'-(oxydi-p-phenylene)bis[3-(2-benzimidazolyl)- (7CI, 8CI) CN(CA INDEX NAME)

PAGE 1-A

PAGE 1-B

=> d his

(FILE 'HOME' ENTERED AT 09:43:19 ON 31 JUL 2003)

FILE 'REGISTRY' ENTERED AT 09:43:33 ON 31 JUL 2003

STRUCTURE UPLOADED L1

7 S L1 L2

907 S L1 FUL L3

FILE 'CAPLUS' ENTERED AT 09:47:11 ON 31 JUL 2003

56 S L3 L4

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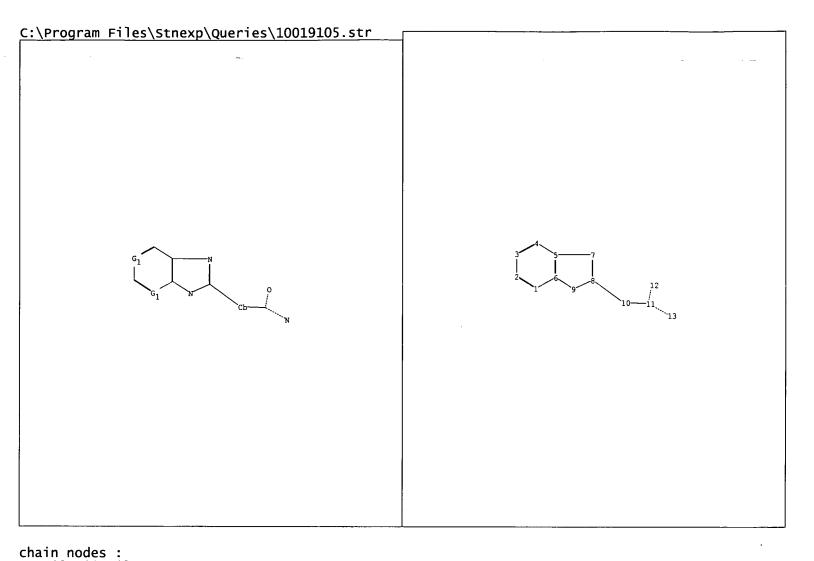
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ring nodes :
    1   2   3   4   5   6   7   8   9
ring/chain nodes :
    13
chain bonds :
    8-10   10-11   11-12   11-13
ring bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   5-7   6-9   7-8   8-9
exact/norm bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   5-7   6-9   7-8   8-9   8-10   10-11   11-12   11-13
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G1:C,N

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS